Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626GMS

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	DEC 21	IPC search and display fields enhanced in CA/CAplus with the IPC reform
NEWS	4	DEC 23	New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/ USPAT2
NEWS	5	JAN 13	IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS	6	JAN 13	New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to INPADOC
NEWS	7	JAN 17	Pre-1988 INPI data added to MARPAT
NEWS	8	JAN 17	IPC 8 in the WPI family of databases including WPIFV
NEWS	9	JAN 30	Saved answer limit increased
NEWS	10	JAN 31	Monthly current-awareness alert (SDI) frequency added to TULSA
NEWS	11	FEB 21	STN AnaVist, Version 1.1, lets you share your STN AnaVist visualization results
NEWS	12	FEB 22	Status of current WO (PCT) information on STN
NEWS	13	FEB 22	The IPC thesaurus added to additional patent databases on STN
NEWS	14	FEB 22	Updates in EPFULL; IPC 8 enhancements added
NEWS	15	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS	16	FEB 28	MEDLINE/LMEDLINE reload improves functionality
NEWS	17	FEB 28	TOXCENTER reloaded with enhancements
NEWS	18	FEB 28	REGISTRY/ZREGISTRY enhanced with more experimental spectral property data
NEWS	19	MAR 01	INSPEC reloaded and enhanced
NEWS	20	MAR 03	Updates in PATDPA; addition of IPC 8 data without attributes
NEWS	21	MAR 08	X.25 communication option no longer available after June 2006
NEWS	22	MAR 22	EMBASE is now updated on a daily basis

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
http://download.cas.org/express/v8.0-Discover/

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items

Enter NEWS followed by the item number or name to see news on that specific topic.

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research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 11:31:47 ON 24 MAR 2006

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 11:32:07 ON 24 MAR 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 22 MAR 2006 HIGHEST RN 877759-05-2
DICTIONARY FILE UPDATES: 22 MAR 2006 HIGHEST RN 877759-05-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

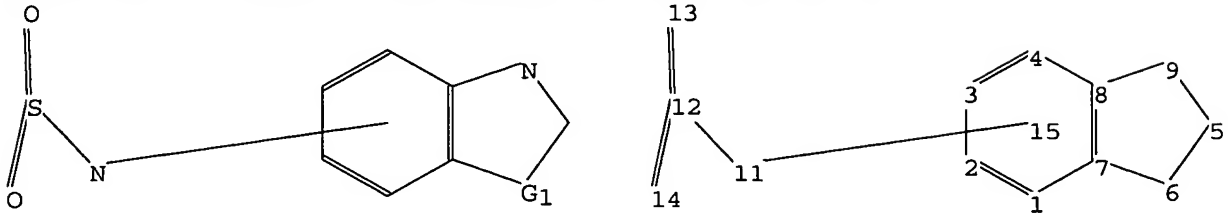
****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10690708.str



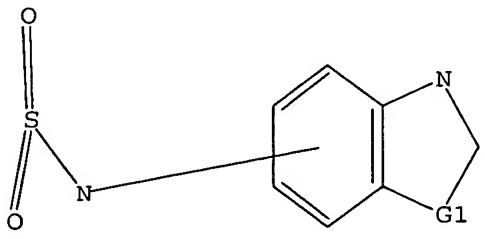
chain nodes :
11 12 13 14
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
11-12 12-13 12-14
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
5-6 5-9 6-7 8-9 11-12 12-13 12-14
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8
isolated ring systems :
containing 1 :

G1:O,S,CH2,NH

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS
12:CLASS 13:CLASS 14:CLASS 15:CLASS

L1 STRUCTURE UPLOADED

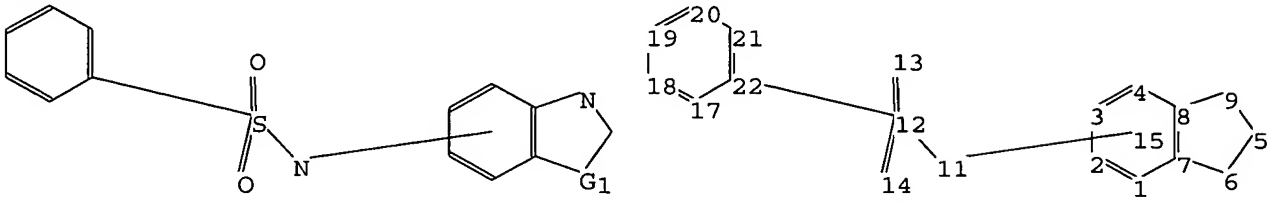
=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,S,CH2,NH

Structure attributes must be viewed using STN Express query preparation.

```
=> s l1
SAMPLE SEARCH INITIATED 11:32:22 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     5065 TO ITERATE

 39.5% PROCESSED     2000 ITERATIONS                                 26 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        97033 TO   105567
PROJECTED ANSWERS:             830 TO     1802

L2            26 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 11:32:31 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   103890 TO ITERATE

100.0% PROCESSED   103890 ITERATIONS                               863 ANSWERS
SEARCH TIME: 00.00.02

L3            863 SEA SSS FUL L1

=>
Uploading C:\Program Files\Stnexp\Queries\10690708a.str
```

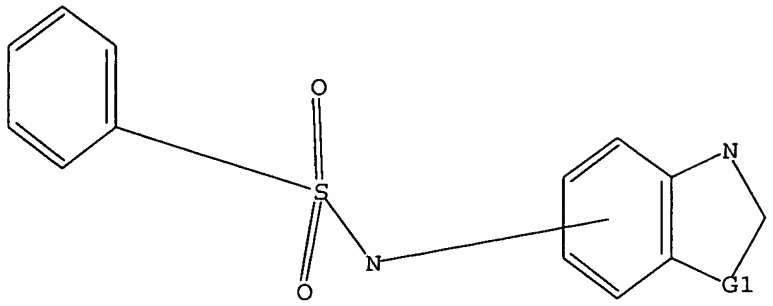



```
chain nodes :
11  12  13  14
ring nodes :
1  2  3  4  5  6  7  8  9  17  18  19  20  21  22
chain bonds :
11-12  12-13  12-14  12-22
ring bonds :
1-2  1-7  2-3  3-4  4-8  5-6  5-9  6-7  7-8  8-9  17-18  17-22  18-19  19-20  20-21
 21-22
exact/norm bonds :
5-6  5-9  6-7  8-9  11-12  12-13  12-14  12-22
normalized bonds :
1-2  1-7  2-3  3-4  4-8  7-8  17-18  17-22  18-19  19-20  20-21  21-22
isolated ring systems :
containing 1 : 17 :

G1:O,S,CH2,NH

Match level :
```

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS
12:CLASS 13:CLASS 14:CLASS 15:CLASS 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom
22:Atom

L4 STRUCTURE UPLOADED

=> d l4
L4 HAS NO ANSWERS
L4 STR



G1 O,S,CH2,NH

Structure attributes must be viewed using STN Express query preparation.

=> s l4
SAMPLE SEARCH INITIATED 11:34:58 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 3864 TO ITERATE

51.8% PROCESSED 2000 ITERATIONS 11 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 73552 TO 81008
PROJECTED ANSWERS: 149 TO 701

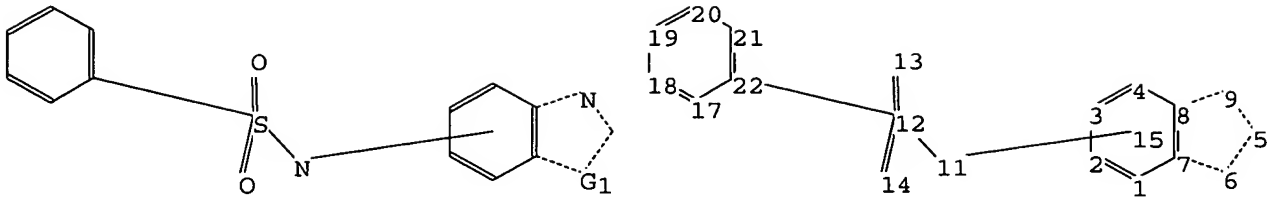
L5 11 SEA SSS SAM L4

=> s l4 sss full
FULL SEARCH INITIATED 11:35:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 79799 TO ITERATE

100.0% PROCESSED 79799 ITERATIONS 366 ANSWERS
SEARCH TIME: 00.00.01

L6 366 SEA SSS FUL L4

=>
Uploading C:\Program Files\Stnexp\Queries\10690708b.str



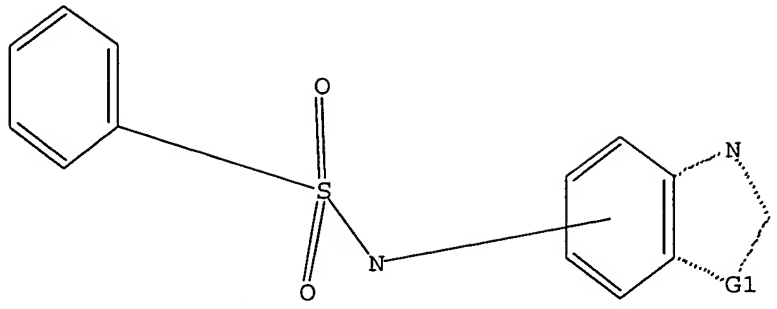
chain nodes :
11 12 13 14
ring nodes :
1 2 3 4 5 6 7 8 9 17 18 19 20 21 22
chain bonds :
11-12 12-13 12-14 12-22
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9 17-18 17-22 18-19 19-20 20-21
21-22
exact/norm bonds :
5-6 5-9 6-7 8-9 11-12 12-13 12-14 12-22
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8 17-18 17-22 18-19 19-20 20-21 21-22
isolated ring systems :
containing 1 : 17 :

G1:O,S,CH2,NH

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS
12:CLASS 13:CLASS 14:CLASS 15:CLASS 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom
22:Atom

L7 STRUCTURE UPLOADED

=> d l7
L7 HAS NO ANSWERS
L7 STR



G1 O,S,CH2,NH

Structure attributes must be viewed using STN Express query preparation.

```
=> s l7
SAMPLE SEARCH INITIATED 11:37:24 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     3864 TO ITERATE

 51.8% PROCESSED     2000 ITERATIONS                                21 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         73552 TO    81008
PROJECTED ANSWERS:              429 TO     1193

L8            21 SEA SSS SAM L7

=> s l7 sss full
FULL SEARCH INITIATED 11:37:32 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    79799 TO ITERATE

100.0% PROCESSED    79799 ITERATIONS                               732 ANSWERS
SEARCH TIME: 00.00.01

L9           732 SEA SSS FUL L7

=> d his

     (FILE 'HOME' ENTERED AT 11:31:47 ON 24 MAR 2006)

     FILE 'REGISTRY' ENTERED AT 11:32:07 ON 24 MAR 2006
L1             STRUCTURE UPLOADED
L2              26 S L1
L3             863 S L1 SSS FULL
L4             STRUCTURE UPLOADED
L5              11 S L4
L6             366 S L4 SSS FULL
L7             STRUCTURE UPLOADED
L8              21 S L7
L9             732 S L7 SSS FULL
```

=> FIL HCAPLUS

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	503.46	503.67

FILE 'HCAPLUS' ENTERED AT 11:37:43 ON 24 MAR 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 24 Mar 2006 VOL 144 ISS 14
FILE LAST UPDATED: 23 Mar 2006 (20060323/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l6
L10 94 L6

=> s l9
L11 130 L9

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	10.12	513.79

FILE 'REGISTRY' ENTERED AT 11:40:22 ON 24 MAR 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 22 MAR 2006 HIGHEST RN 877759-05-2
DICTIONARY FILE UPDATES: 22 MAR 2006 HIGHEST RN 877759-05-2

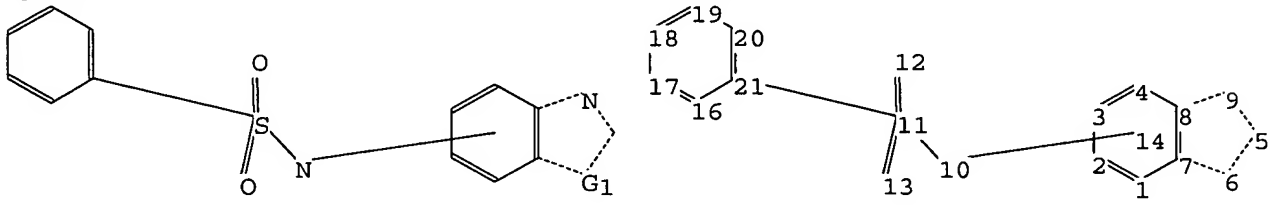
New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

****

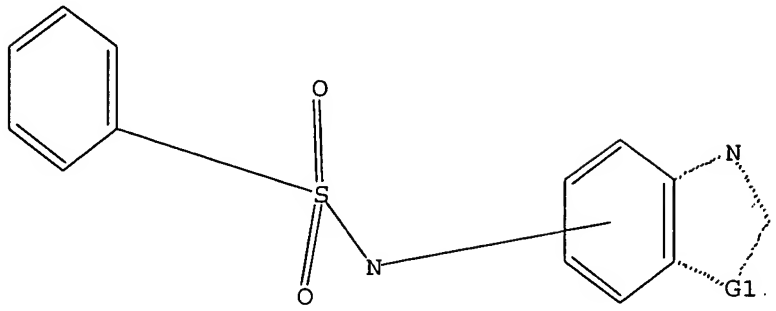
```
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                                    *
**********************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10690708c.str
```




```
chain nodes :
10  11  12  13
ring nodes :
1  2  3  4  5  6  7  8  9  16  17  18  19  20  21
chain bonds :
10-11  11-12  11-13  11-21
ring bonds :
1-2  1-7  2-3  3-4  4-8  5-6  5-9  6-7  7-8  8-9  16-17  16-21  17-18  18-19  19-20
 20-21
exact/norm bonds :
5-6  5-9  6-7  8-9  10-11  11-12  11-13  11-21
normalized bonds :
1-2  1-7  2-3  3-4  4-8  7-8  16-17  16-21  17-18  18-19  19-20  20-21
isolated ring systems :
containing 1 : 16 :


G1:O,S

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:CLASS
11:CLASS  12:CLASS  13:CLASS  14:CLASS  16:Atom  17:Atom  18:Atom  19:Atom  20:Atom
 21:Atom
```

L12 STRUCTURE UPLOADED

=> d l12
L12 HAS NO ANSWERS
L12 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

=> s l12
SAMPLE SEARCH INITIATED 11:40:46 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 739 TO ITERATE

100.0% PROCESSED 739 ITERATIONS 23 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 13150 TO 16410
PROJECTED ANSWERS: 173 TO 747

L13 23 SEA SSS SAM L12

=> s l12 sss full
FULL SEARCH INITIATED 11:40:53 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 15845 TO ITERATE

100.0% PROCESSED 15845 ITERATIONS 427 ANSWERS
SEARCH TIME: 00.00.01

L14 427 SEA SSS FUL L12

=> FIL HCAPLUS
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	166.94	680.73

FILE 'HCAPLUS' ENTERED AT 11:41:05 ON 24 MAR 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 24 Mar 2006 VOL 144 ISS 14
FILE LAST UPDATED: 23 Mar 2006 (20060323/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

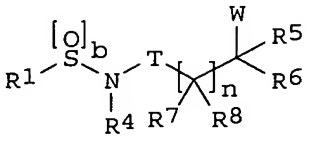
```
=> s l14
L15          59 L14

=> d his

     (FILE 'HOME' ENTERED AT 11:31:47 ON 24 MAR 2006)

     FILE 'REGISTRY' ENTERED AT 11:32:07 ON 24 MAR 2006
L1               STRUCTURE UPLOADED
L2            26 S L1
L3           863 S L1 SSS FULL
L4               STRUCTURE UPLOADED
L5            11 S L4
L6           366 S L4 SSS FULL
L7               STRUCTURE UPLOADED
L8            21 S L7
L9           732 S L7 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 11:37:43 ON 24 MAR 2006
L10           94 S L6
L11          130 S L9

     FILE 'REGISTRY' ENTERED AT 11:40:22 ON 24 MAR 2006
L12              STRUCTURE UPLOADED
L13           23 S L12
L14          427 S L12 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 11:41:05 ON 24 MAR 2006
L15           59 S L14

=> s l15 and hsd
          3308 HSD
           310 HSDS
          3434 HSD
                 (HSD OR HSDS)
L16          0 L15 AND HSD

=> s l10 and hsd
          3308 HSD
           310 HSDS
          3434 HSD
                 (HSD OR HSDS)
```

```
L17          1 L10 AND HSD

=> s l11 and hsd
          3308 HSD
           310 HSDS
          3434 HSD
                 (HSD OR HSDS)
L18          1 L11 AND HSD

=> s l10 and p/dt
       5156577 P/DT
L19          64 L10 AND P/DT

=> s l11 and p/dt
       5156577 P/DT
L20          83 L11 AND P/DT

=> s l19 and py<=2002
      22795059 PY<=2002
L21          55 L19 AND PY<=2002

=> s l20 and py<=2002
      22795059 PY<=2002
L22          68 L20 AND PY<=2002

=> s l21 and us/pc
       1520674 US/PC
L23          25 L21 AND US/PC

=> s l22 and us/pc
       1520674 US/PC
L24          31 L22 AND US/PC

=> d l17 ibib abs hitstr tot

L17  ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2005:588661  HCAPLUS
DOCUMENT NUMBER:          143:115445
TITLE:                    Preparation of N-(pyridin-2-yl) benzenesulfonamides
                          and related compounds as inhibitors of
                          11-β-hydroxy steroid dehydrogenase type 1
                          (11-β- hsd-1) for the treatment of
                          diabetes and obesity
INVENTOR(S):              Edwards, Martin Paul; Johnson, Theodore Otto, Jr.;
                          Nair, Sajiv Krishnan; Siu, Michael; Taylor, Wendy
                          Dianne; Cripps, Stephan James; Wang, Yong; Cheng,
                          Hengmiao; Smith, Christopher Ronald
PATENT ASSIGNEE(S):       Pfizer Inc., USA
SOURCE:                   PCT Int. Appl., 114 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     WO 2005060963         A1    20050707    WO 2004-IB4056          20041206
     WO 2005060963         C1    20051027
```

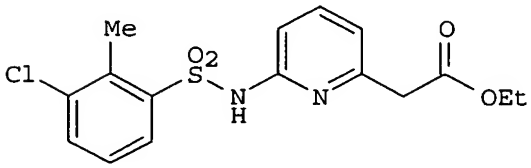
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

NL 1027811	A1	20050621	NL 2004-1027811		20041217
US 2005148631	A1	20050707	US 2004-16152		20041217
PRIORITY APPLN. INFO.:			US 2003-531186P	P	20031219
			US 2004-556921P	P	20040326

OTHER SOURCE(S): MARPAT 143:115445
GI



I



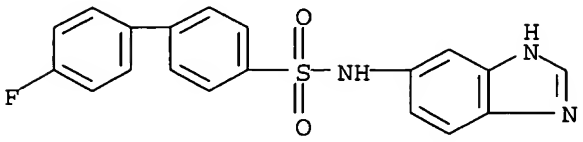
II

AB The title compds. I [R1 = alkyl, (CR7R8)t(cycloalkyl), (CR7R8)t(aryl), and (CR7R8)t(4-10 membered heterocyclyl); b = 1-2; n = 0-2; t = 0-5; T = 6-10 membered heterocyclyl containing at least one nitrogen atom; W = C(O)NR2R3, C(O)OR2, alkyl, 5-membered heterocyclyl; R2-R6 = H, alkyl, (CR7R8)t(cycloalkyl), (CR7R8)t(aryl), and (CR7R8)t(4-10 membered heterocyclyl); or NR2R3 = 4-10 membered heterocyclyl; or R5 and R6 may optionally be taken together with the carbon to which they are attached to form cycloalkyl or heterocyclyl; R7, R8 = H, alkyl] which are 11-β-**hsd**-1 inhibitors, and are therefore believed to be useful in the treatment of diabetes, obesity, glaucoma, osteoporosis, cognitive disorders, immune disorders, depression, hypertension, and metabolic diseases, were prepared Thus, reacting 3-chloro-2-methylbenzenesulfonyl chloride with Et (6-aminopyridin-2-yl)acetate afforded 75% II which showed 72% 11-β- **hsd**-1 inhibition at 0.1 μM. The pharmaceutical composition comprising the compound I is disclosed.

IT **857290-03-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(pyridin-2-yl) benzenesulfonamides and related compds. as inhibitors of 11-β-hydroxy steroid dehydrogenase type 1 (11-β- **hsd**-1) for the treatment of diabetes and obesity)

RN 857290-03-0 HCAPLUS

CN [1,1'-Biphenyl]-4-sulfonamide, N-1H-benzimidazol-5-yl-4'-fluoro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l18 ibib abs hitstr tot

L18 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:588661 HCAPLUS
DOCUMENT NUMBER: 143:115445
TITLE: Preparation of N-(pyridin-2-yl) benzenesulfonamides and related compounds as inhibitors of 11-β-hydroxy steroid dehydrogenase type 1 (11-β- **hsd**-1) for the treatment of diabetes and obesity
INVENTOR(S): Edwards, Martin Paul; Johnson, Theodore Otto, Jr.; Nair, Sajiv Krishnan; Siu, Michael; Taylor, Wendy Dianne; Cripps, Stephan James; Wang, Yong; Cheng, Hengmiao; Smith, Christopher Ronald
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005060963	A1	20050707	WO 2004-IB4056		20041206
WO 2005060963	C1	20051027			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
NL 1027811	A1	20050621	NL 2004-1027811		20041217
US 2005148631	A1	20050707	US 2004-16152		20041217
PRIORITY APPLN. INFO.:			US 2003-531186P	P	20031219
			US 2004-556921P	P	20040326

OTHER SOURCE(S): MARPAT 143:115445
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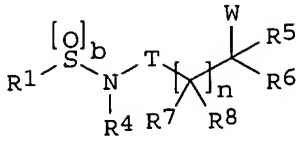

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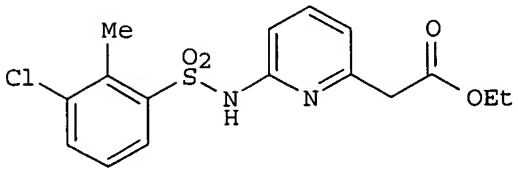


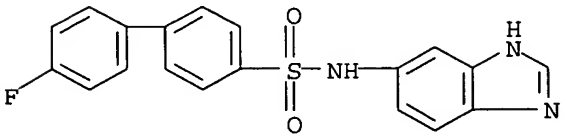
II

AB The title compds. I [R1 = alkyl, (CR7R8)t(cycloalkyl), (CR7R8)t(aryl), and (CR7R8)t(4-10 membered heterocyclyl); b = 1-2; n = 0-2; t = 0-5; T = 6-10 membered heterocyclyl containing at least one nitrogen atom; W = C(O)NR2R3, C(O)OR2, alkyl, 5-membered heterocyclyl; R2-R6 = H, alkyl, (CR7R8)t(cycloalkyl), (CR7R8)t(aryl), and (CR7R8)t(4-10 membered heterocyclyl); or NR2R3 = 4-10 membered heterocyclyl; or R5 and R6 may optionally be taken together with the carbon to which they are attached to form cycloalkyl or heterocyclyl; R7, R8 = H, alkyl] which are 11-β-**hsd**-1 inhibitors, and are therefore believed to be useful in the treatment of diabetes, obesity, glaucoma, osteoporosis, cognitive disorders, immune disorders, depression, hypertension, and metabolic diseases, were prepared Thus, reacting 3-chloro-2-methylbenzenesulfonyl chloride with Et (6-aminopyridin-2-yl)acetate afforded 75% II which showed 72% 11-β- **hsd**-1 inhibition at 0.1 μM. The pharmaceutical composition comprising the compound I is disclosed.

IT **857290-03-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(pyridin-2-yl) benzenesulfonamides and related compds. as inhibitors of 11-β-hydroxy steroid dehydrogenase type 1 (11-β- **hsd**-1) for the treatment of diabetes and obesity)

RN 857290-03-0 HCAPLUS

CN [1,1'-Biphenyl]-4-sulfonamide, N-1H-benzimidazol-5-yl-4'-fluoro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

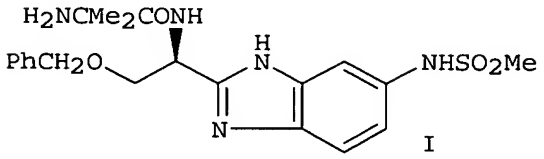
=> d 123 ibib abs hitstr 1-10

L23 ANSWER 1 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:150554 HCAPLUS
DOCUMENT NUMBER: 138:188073
TITLE: Preparation of dipeptide heterocyclic aromatic compounds as growth hormone secretagogues
INVENTOR(S): Tino, Joseph A.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S., 157 pp., Cont.-in-part of U.S. Ser. No. 506,749, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6525203	B1	20030225	US 2000-662448	20000914 <--
US 6518292	B1	20030211	US 2000-506749	20000218 <--
ZA 2001006854	A	20021120	ZA 2001-6854	20010820 <--
US 6660760	B1	20031209	US 2002-282182	20021028 <--
US 2004002525	A1	20040101	US 2002-281818	20021028 <--
US 6969727	B2	20051129		
US 2004029935	A1	20040212	US 2002-281649	20021028 <--
US 6908938	B2	20050621		
US 2004072881	A1	20040415	US 2002-281848	20021028 <--

PRIORITY APPLN. INFO.: US 1999-124131P P 19990312
US 1999-154919P P 19990921
US 2000-506749 A2 20000218

OTHER SOURCE(S): MARPAT 138:188073
GI



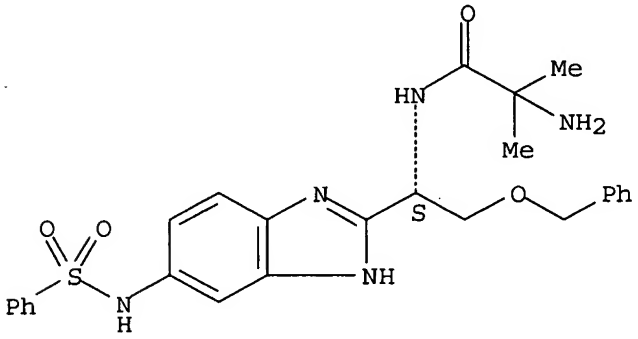
I

AB R1R1aCXaNR6COYXb [R1 = (un)substituted alkyl, (hetero)aryl(alkyl), etc.; R1a = H or (cyclo)alkyl; R6 = H, (cyclo)alkyl, alkenyl, aryl; Xa = substituted 2-benzoxazolyl, 2-benzothiazolyl, or 2-benzimidazolyl; Xb = (di)(alkyl)amino, (un)substituted imidazolyl; Y = phenylene, (phenylene-interrupted)alkylene, (un)substituted alkylene, aza- or oxaalkylene, or alkenylene] were prepared as growth hormone production and/or release stimulants. Thus, dipeptide benzimidazole derivative I (Boc = tert-butoxycarbonyl) was prepared by a multistep procedure starting from Boc-D-Ser(CH2Ph)-OH, 4-nitro-o-phenylenediamine, Boc-methylalanine, and MeSO2Cl.

IT **295335-10-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dipeptide heterocyclic aromatic compds. as growth hormone secretagogues)

RN 295335-10-3 HCAPLUS
CN Propanamide, 2-amino-2-methyl-N-[(1S)-2-(phenylmethoxy)-1-[5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 2 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:657951 HCAPLUS
DOCUMENT NUMBER: 137:201300
TITLE: Azoles, e.g., 1,3-benzothiazole and [1,3]thiazolo[5,4-b]pyridine derivatives, as malonyl-CoA decarboxylase inhibitors, useful as metabolic modulators
INVENTOR(S): Arrhenius, Thomas; Cheng, Jie Fei; Wilson, Mark; Serafimov, Rossy
PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002066035	A2	20020829	WO 2002-US4777	20020219 <--
WO 2002066035	A3	20021024		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2437409	AA	20020829	CA 2002-2437409	20020219 <--
EP 1370260	A2	20031217	EP 2002-721032	20020219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002007408	A	20040225	BR 2002-7408	20020219

CN 1492762	A	20040428	CN 2002-805216		20020219	
JP 2004522773	T2	20040729	JP 2002-565593		20020219	
RU 2258706	C2	20050820	RU 2003-128307		20020219	
NZ 526883	A	20051125	NZ 2002-526883		20020219	
NO 2003003665	A	20031020	NO 2003-3665		20030819	
US 2004092503	A1	20040513	US 2003-468379		20030819	<--
PRIORITY APPLN. INFO.:			US 2001-270034P	P	20010220	
			WO 2002-US4777	W	20020219	

OTHER SOURCE(S): MARPAT 137:201300

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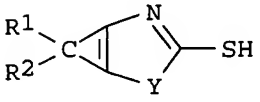

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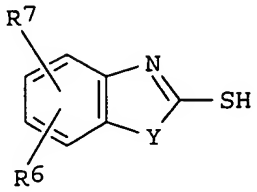

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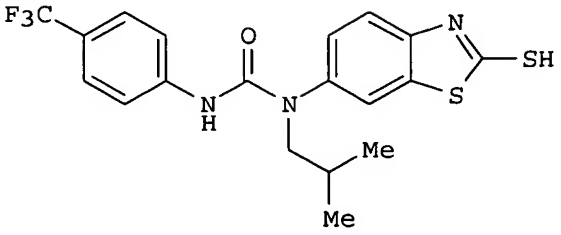


III

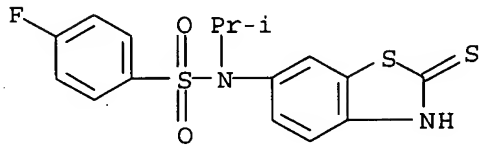
AB The invention relates to methods of treatment of certain metabolic diseases, and to novel compds. and their prodrugs, and/or pharmaceutically acceptable salts, and to pharmaceutical compns. containing such compds., useful in treating such diseases. In particular, the invention relates to the use of novel compds. and compns. for treatment of cardiovascular diseases, diabetes, cancers, acidosis, and obesity, through the inhibition of malonyl-CoA decarboxylase (MCD). The compds. have formulas I and II. In the case of I, Y = S or O; C = atoms to form substituted monocyclic 5- to 7- membered ring fusion containing 1-3 heteroatoms (N/O/S); R1 and R2 are different, and each = H, halo, OH, NO2, cyano, (un)substituted alkyl or alkoxy, alkylamino, alkylsulfanyl, aryl, various functional groups and sidechains, or (un)substituted monocyclic 3- to 7-membered ring containing 0-3 heteroatoms (N/O/S). In the case of II, Y = S or O; R6 is placed at either the 5- or 6-position; R6 = phosphorylated amino, heterocyclic ring attached by (un)substituted NH, CO, or O, various acylated amino groups, sulfonylated amino groups, or cyclic amines; R7 = H, alkyl, alkoxy, halo, cyano, sulfonyl, aminosulfonyl; or R6R7 = fused substituted 5- to 7-membered ring containing 1-3 heteroatoms (N/O/S). Examples provided include explicit prepns. of seven compds. I and II, prepns. of several intermediates, and inhibition data for 10 compds. I and II. In addition, over 300 specific compds. I and II are claimed by name. For instance, reductive N-alkylation of 6-amino-1,3-benzothiazole-2-thiol using 2-methylpropanal and NaBH3CN (61%), followed by carbamoylation of the resultant secondary amine with α,α,α-trifluoro-p-tolyl isocyanate (64%) gave title compound III. This highly preferred compound

inhibited rat cardiac MCD in vitro with an IC50 of 0.031 μM.

IT **452104-11-9P**, 4-Fluoro-N-isopropyl-N-(2-mercaptobenzothiazol-6-yl)benzenesulfonamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzothiazoles and thiazolopyridines as malonyl-CoA decarboxylase inhibitors, useful as metabolic modulators)

RN 452104-11-9 HCAPLUS

CN Benzenesulfonamide, N-(2,3-dihydro-2-thioxo-6-benzothiazolyl)-4-fluoro-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



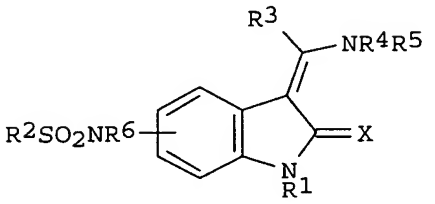
L23 ANSWER 3 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:353428 HCAPLUS
DOCUMENT NUMBER: 136:369603
TITLE: Preparation of (sulfonylamino)(aminomethylidene)indolinones as cell proliferation inhibitors.
INVENTOR(S): Walter, Rainer; Heckel, Armin; Roth, Gerald Juergen; Kley, Joerg; Schnapp, Gisela; Lenter, Martin; Van Meel, Jacobus Constantinus Antonius; Spevak, Walter; Weyer-Czernilofsky, Ulrike
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036564	A1	20020510	WO 2001-EP12523	20011030 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10054019	A1	20020523	DE 2000-10054019	20001101 <--
AU 2002015980	A5	20020515	AU 2002-15980	20011030 <--
EP 1341760	A1	20030910	EP 2001-992699	20011030
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004513113	T2	20040430	JP 2002-539324	20011030
US 2003069299	A1	20030410	US 2001-2939	20011101 <--
US 6638965	B2	20031028		
US 2004044222	A1	20040304	US 2003-646423	20030822 <--

US 2004044053 A1 20040304 US 2003-646495 20030822 <--
PRIORITY APPLN. INFO.: DE 2000-10054019 A 20001101
US 2000-251055P P 20001201
WO 2001-EP12523 W 20011030
US 2001-2939 A3 20011101

OTHER SOURCE(S): MARPAT 136:369603
GI



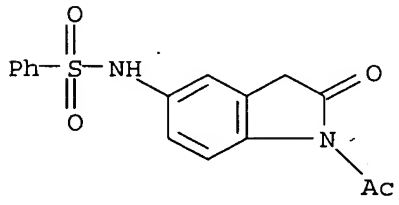
I

AB Title compds. [I; X = O, S; R1 = H, alkoxycarbonyl, alkanoyl; R2 = (substituted) alkyl, alkenyl, Ph, heteroaryl, cycloalkyl, naphthyl, etc.; R3 = H, alkyl; R4 = (substituted) Ph, naphthyl, heteroaryl; R5, R6 = H, alkyl], were prepared Thus, 1-acetyl-3-(1-ethoxy-1-phenylmethylidene)-5-(N-acetyl-N-phenylsulfonylamino)-2-indolinone (preparation given) and 4-[N-acetyl-N-(2-trifluoracetylaminoethyl)amino]aniline (preparation given) were heated in DMF for 6 h at 120° to give 49% (Z)-3-[1-[4-[N-acetyl-N-(2-aminoethyl)amino]phenylamino]-1-phenylmethylidene]-5-phenylsulfonylamino-2-indolinone. Tested I inhibited proliferation of leiomyosarcoma SK-UT-1B cells in mice at <0.01 μM-1.0 μM.

IT **422518-12-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (sulfonylamino)(aminomethylidene)indolinones as cell proliferation inhibitors)

RN 422518-12-5 HCAPLUS

CN 2H-Indol-2-one, 1-acetyl-1,3-dihydro-5-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 4 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:31423 HCAPLUS
DOCUMENT NUMBER: 136:102388
TITLE: Preparation of 2-(benzoazolidinylene)propane-1,3-dione derivatives as GnRH receptor antagonists
INVENTOR(S): Hirano, Masaaki; Kawaminami, Eiji; Toyoshima, Akira;

Moritomo, Hiroyuki; Seki, Norio; Wakayama, Ryutaro; Okada, Minoru; Kusayama, Toshiyuki
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002533	A1	20020110	WO 2001-JP5813	20010704 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2415010	AA	20020110	CA 2001-2415010	20010704 <--
AU 2001071022	A5	20020114	AU 2001-71022	20010704 <--
EP 1300398	A1	20030409	EP 2001-949914	20010704
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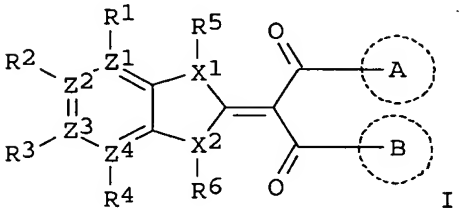
US 2003191164	A1	20031009	US 2002-311688	20021219 <--
US 6960591	B2	20051101		
US 2005267110	A1	20051201	US 2005-155595	20050620 <--

PRIORITY APPLN. INFO.:
JP 2000-204425 A 20000705
JP 2001-153372 A 20010523
WO 2001-JP5813 W 20010704
US 2002-311688 A3 20021219

OTHER SOURCE(S): MARPAT 136:102388
GI



I

AB Described are medicinal compns., in particular, gonadotropin releasing hormone (GnRH) receptor antagonists comprising propane-1,3-dione derivs. represented by the following general formula [I; R1 , R2, R3, R4 = H,NO2, cyano, halo, (un)substituted hydrocarbyl, heterocyclyl, OH, CO2H, acyloxy, or acyl, substituent-S(O)n, H-S(O)n (wherein n = an integer of 0-2), (un)substituted CONH2, SO2NH2, or NH2; or two adjacent groups selected from R1-R4 are taken together to form aryl or cycloalkenyl; R5, R6 = H, halo, (un)substituted hydrocarbyl or NH2; X1, X2 = N, S, O; A, B =

(un)substituted aryl or heterocyclyl; Z1, Z2, Z3, Z4 = C, N; provided that (1) when X1 and X2 are S or O, both or one of R5 and R6 is absent or (2) when 1 to 4 of Z1, Z2, Z3, and /or Z4 is N, the corresponding R1, R2, R3, and/or R4 is absent.] as the active ingredient. These compds. I are nonpeptide compds. having a GnRH antagonism and lowering sex hormone and are useful for the treatment of sex hormone-dependent diseases such as prostate cancer, breast cancer, endometriosis, and hysteromyoma. Thus, K2CO3 and NaI were successively added to a son. of 1-(3,5-difluorophenyl)-2-(5-hydroxy-1,3-dihydro-2H-benzimidazol-2-ylidene)-3-phenylpropane-1,3-dione (preparation given) and 3-chloromethylpyridine hydrochloride in MeCN and stirred at 80° for 3.5 h to give 1-(3,5-difluorophenyl)-2-[5-(3-pyridylmethoxy)-1,3-dihydro-2H-benzimidazol-2-ylidene]-3-phenylpropane-1,3-dione (II). II and 24 other compds. I in vitro showed IC50 of 10-10 to 10-9 M for inhibiting the binding of 125I-D-Trp6-LHRH to human GnRH receptor. In particular, 2-(dihydrobenzoimidazol-2-ylidene)propane-1,3-dione derivs. exhibited the GnRH receptor-inhibitory activity equivalent to that of the peptide GnRH antagonist cetrorelix.

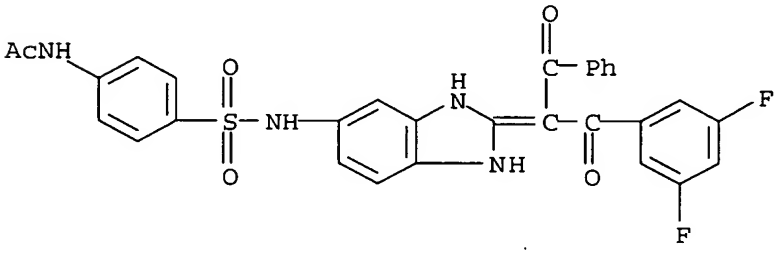
IT **388596-43-8P 388596-44-9P 388596-45-0P 388596-46-1P 388599-22-2P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (benzoazolidinylene)propanedione derivs. as GnRH receptor antagonists for treating sex hormone-dependent diseases)

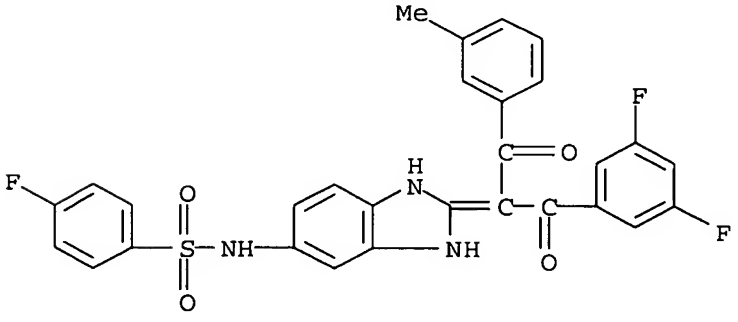
RN 388596-43-8 HCAPLUS

CN Acetamide, N-[4-[[[2-[1-benzoyl-2-(3,5-difluorophenyl)-2-oxoethylidene]-2,3-dihydro-1H-benzimidazol-5-yl]amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

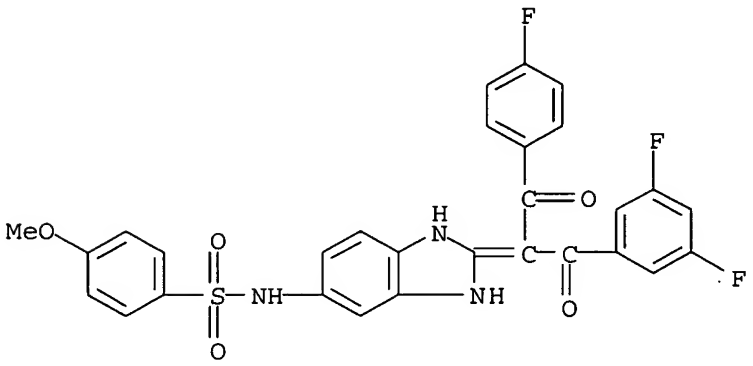


RN 388596-44-9 HCAPLUS

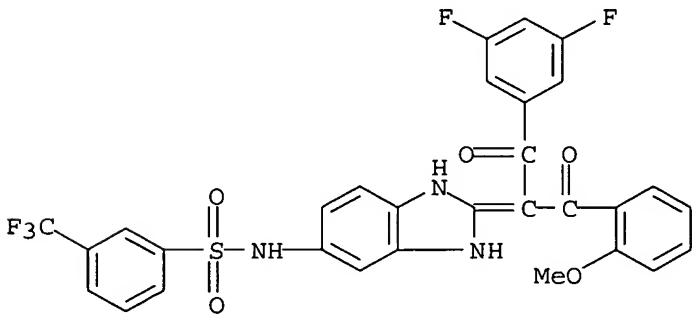
CN Benzenesulfonamide, N-[2-[1-(3,5-difluorobenzoyl)-2-(3-methylphenyl)-2-oxoethylidene]-2,3-dihydro-1H-benzimidazol-5-yl]-4-fluoro- (9CI) (CA INDEX NAME)



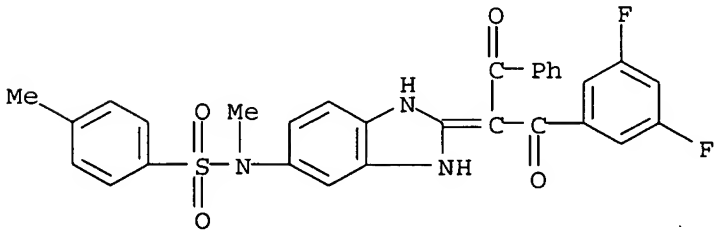
RN 388596-45-0 HCAPLUS
CN Benzenesulfonamide, N-[2-[1-(3,5-difluorobenzoyl)-2-(4-fluorophenyl)-2-oxoethylidene]-2,3-dihydro-1H-benzimidazol-5-yl]-4-methoxy- (9CI) (CA INDEX NAME)



RN 388596-46-1 HCAPLUS
CN Benzenesulfonamide, N-[2-[1-(3,5-difluorobenzoyl)-2-(2-methoxyphenyl)-2-oxoethylidene]-2,3-dihydro-1H-benzimidazol-5-yl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

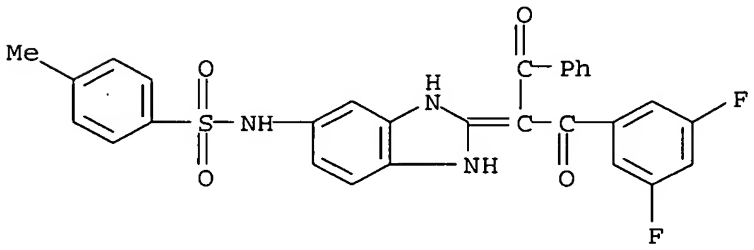


RN 388599-22-2 HCAPLUS
CN Benzenesulfonamide, N-[2-[1-benzoyl-2-(3,5-difluorophenyl)-2-oxoethylidene]-2,3-dihydro-1H-benzimidazol-5-yl]-N,4-dimethyl- (9CI) (CA INDEX NAME)



IT **388600-59-7**, N-[2-[1-Benzoyl-2-(3,5-difluorophenyl)-2-

oxoethylidene]-2,3-dihydro-1H-benzimidazol-5-yl]-4-methylbenzenesulfonamide
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (benzoazolidinylene)propanedione derivs. as GnRH receptor antagonists for treating sex hormone-dependent diseases)
RN 388600-59-7 HCAPLUS
CN Benzenesulfonamide, N-[2-[1-benzoyl-2-(3,5-difluorophenyl)-2-oxoethylidene]-2,3-dihydro-1H-benzimidazol-5-yl]-4-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 5 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:581738 HCAPLUS
DOCUMENT NUMBER: 135:175421
TITLE: Integrin expression inhibitors
INVENTOR(S): Wakabayashi, Toshiaki; Funahashi, Yasuhiro; Hata, Naoko; Semba, Taro; Yamamoto, Yuji; Haneda, Toru; Owa, Takashi; Tsuruoka, Akihiko; Kamata, Junichi; Okabe, Tadashi; Takahashi, Keiko; Nara, Kazumasa; Hamaoka, Shinichi; Ueda, Norihiro
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 153 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001056607	A1	20010809	WO 2001-JP713	20010201 <--
W: AU, CA, CN, HU, JP, KR, MX, NO, NZ, RU, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2399001	AA	20010809	CA 2001-2399001	20010201 <--
AU 2001028867	A5	20010814	AU 2001-28867	20010201 <--
AU 781506	B2	20050526		
EP 1258252	A1	20021120	EP 2001-948941	20010201 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
NZ 520299	A	20040528	NZ 2001-520299	20010201
RU 2240826	C2	20041127	RU 2002-123580	20010201
US 2004018192	A1	20040129	US 2002-181562	20020718 <--
NO 2002003688	A	20021003	NO 2002-3688	20020802 <--
US 2005176712	A1	20050811	US 2005-97218	20050404 <--

PRIORITY APPLN. INFO.:

JP 2000-26080	A	20000203
JP 2000-402084	A	20001228
WO 2001-JP713	W	20010201
US 2002-181562	A1	20020718

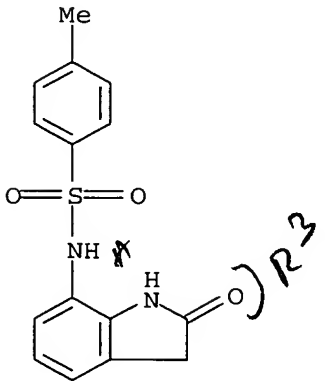
OTHER SOURCE(S): MARPAT 135:175421

AB Integrin expression inhibitors and remedies for arteriosclerosis, psoriasis, cancer, retinal angiogenesis, diabetic retinitis or inflammatory diseases, anticoagulant agents and cancerous metastasis inhibitors based on the integrin inhibitory effect. Namely, integrin expression inhibitors containing as the active ingredient sulfonamide compds. represented by the following general formula BKSO2N(R1)ZR, pharmacol. acceptable salts thereof or hydrates of the same wherein B represents optionally substituted C6-10 aryl or 6- to 10-membered heteroaryl wherein the ring may be partly saturated; K represents a single bond, -CH=CH- or -(CR4bR5b)mb- (wherein R4b and R5b may be the same or different and each represents hydrogen or C1-4 alkyl; and mb represents an integer of 1 or 2); R1 represents hydrogen or C1-6 alkyl; Z represents a single bond or CO-NH-; and R represents optionally substituted C6-10 aryl or 6- to 10-membered heteroaryl wherein the ring may be partly saturated

IT **165668-28-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(integrin expression inhibitors for medical uses)

RN 165668-28-0 HCAPLUS

CN Benzenesulfonamide, N-(2,3-dihydro-2-oxo-1H-indol-7-yl)-4-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 6 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:338351 HCAPLUS

DOCUMENT NUMBER: 134:340508

TITLE: Preparation of 2-benzyl and 2-heteroaryl benzimidazole NMDA/NR2B antagonists

INVENTOR(S): McCauley, John A.; Theberge, Cory R.; Liverton, Nigel J.; Claremon, David A.; Claiborne, Christopher F.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

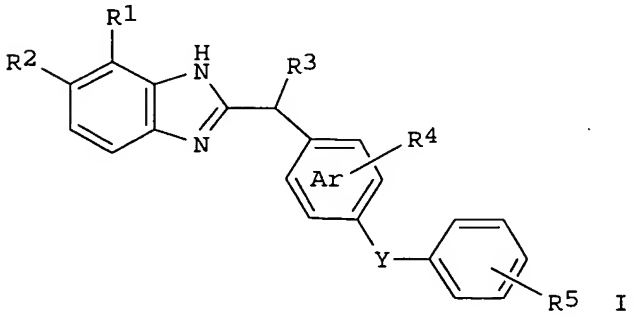
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2

DOCUMENT TYPE: **Patent**

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001032174	A1	20010510	WO 2000-US29470	20001026 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6316474	B1	20011113	US 2000-696501	20001025 <--
CA 2389259	AA	20010510	CA 2000-2389259	20001026 <--
EP 1242076	A1	20020925	EP 2000-975393	20001026 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003513041	T2	20030408	JP 2001-534379	20001026
PRIORITY APPLN. INFO.:			US 1999-162351P	P 19991029
			WO 2000-US29470	W 20001026

OTHER SOURCE(S): MARPAT 134:340508
GI



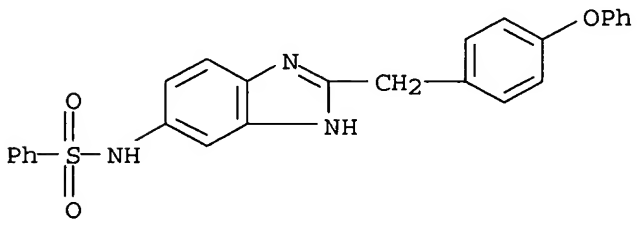
I

AB Novel benzimidazoles, substituted in the 2-position by substituted benzyl groups or heteroaryl groups, (I) [wherein R1, R2, R4, and R5 = independently H, Cl, F, OH, OMe, CF3, OCF3, NH2, CN, NO2, (amino)alkyl, aryl, alkylcarbonylamino, oxohydroxydibenzopyranyl-substituted carboxyphenylthioureido or carbonylaminoalkylcarbonylamino, R6SO2NH, R6SO2NMe, or R6SO2NHCH2; R3 = H, OH, NH2, alkylamino, arylamino, or :O; R6 = (un)substituted alkyl, (phenyl)alkenyl, Ph, naphthyl, or heterocyclic group; Y = O, NH, (CH2)nCO(CH2)n, or (CH2)nCHR3(CH2)n; n = 0-5; Ar may be substituted with 0-3 N atoms in positions 2, 3, 5, or 6] were prepared as effective NMDA NR2B glutamate receptor antagonists. For example, cycloaddn. of phenylenediamine and (4-phenoxyphenyl)acetic acid in presence of EDC and HOBt in DMF afforded 2-(4-phenoxybenzyl)-1H-benzimidazole. Exptl. protocols for assessing the inhibition of NR1A/2B NMDA receptor activation (FLIPR assay) and determining the apparent dissociation

consts. against the human NR1A/NR2B receptor (binding assay) are given (no data). I are useful for relieving pain and treating depression, schizophrenia, Parkinson's disease, or stroke (no data).

IT **337965-02-3P 337965-03-4P 337965-05-6P**
337965-07-8P 337965-09-0P 337965-11-4P
337965-13-6P 337965-15-8P 337965-17-0P
337965-19-2P 337965-21-6P 337965-23-8P
337965-25-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-benzyl and 2-heteroaryl benzimidazole NMDA/NR2B antagonists by cycloaddn. of phenylenediamines with arylacetates)

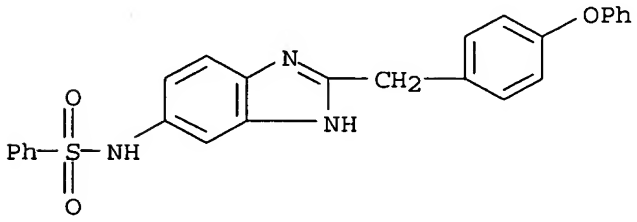
RN 337965-02-3 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



RN 337965-03-4 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

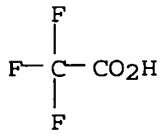
CM 1

CRN 337965-02-3
CMF C26 H21 N3 O3 S



CM 2

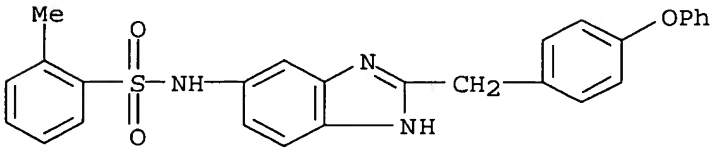
CRN 76-05-1
CMF C2 H F3 O2



RN 337965-05-6 HCAPLUS
CN Benzenesulfonamide, 2-methyl-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 337965-04-5
CMF C27 H23 N3 O3 S



CM 2

CRN 76-05-1
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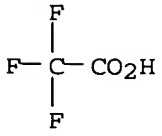

RN 337965-07-8 HCAPLUS
CN Benzenesulfonamide, 3-methyl-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 337965-06-7
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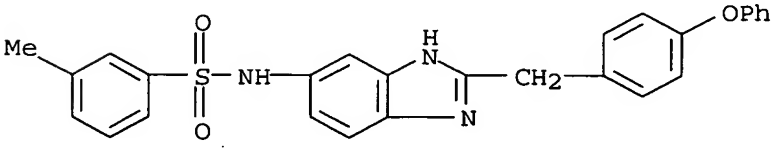

CM 2

CRN 76-05-1
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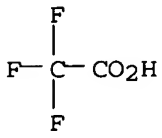

RN 337965-09-0 HCAPLUS
CN Benzenesulfonamide, 4-methyl-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 337965-08-9
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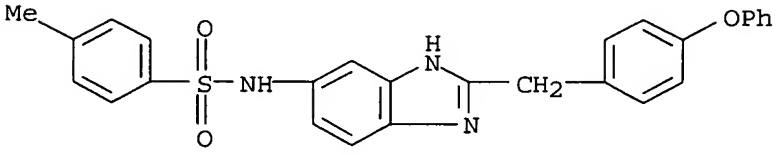
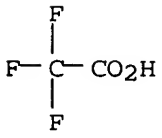


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 337965-11-4 HCAPLUS
CN Benzenesulfonamide, 3-chloro-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 337965-10-3
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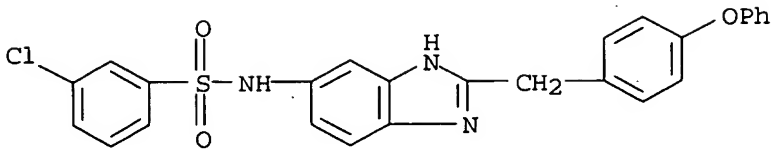
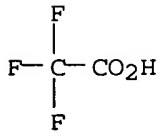


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 337965-13-6 HCAPLUS
CN Benzenesulfonamide, 4-chloro-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 337965-12-5
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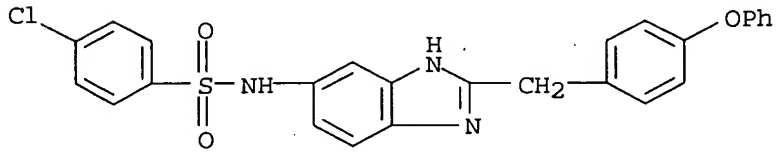
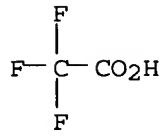


CM 2

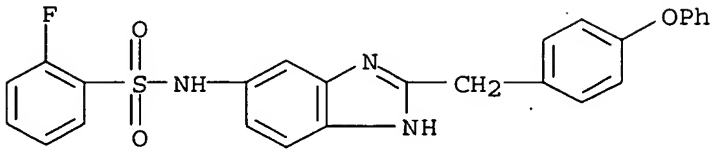
CRN 76-05-1
CMF C2 H F3 O2



RN 337965-15-8 HCAPLUS
CN Benzenesulfonamide, 2-fluoro-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

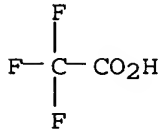
CM 1

CRN 337965-14-7
CMF C26 H20 F N3 O3 S



CM 2

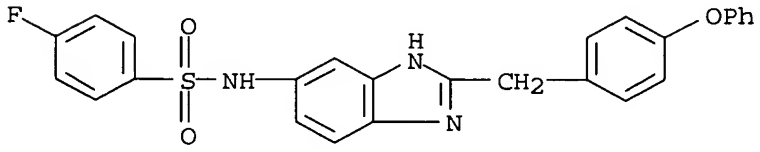
CRN 76-05-1
CMF C2 H F3 O2



RN 337965-17-0 HCAPLUS
CN Benzenesulfonamide, 4-fluoro-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

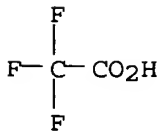
CM 1

CRN 337965-16-9
CMF C26 H20 F N3 O3 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2

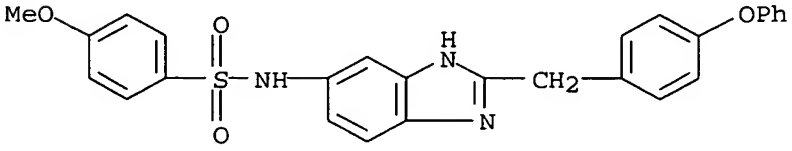


RN 337965-19-2 HCAPLUS

CN Benzenesulfonamide, 4-methoxy-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

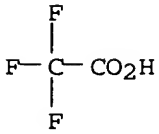
CM 1

CRN 337965-18-1
CMF C27 H23 N3 O4 S



CM 2

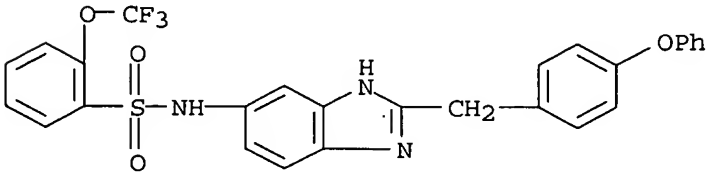
CRN 76-05-1
CMF C2 H F3 O2



RN 337965-21-6 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-2-(trifluoromethoxy)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

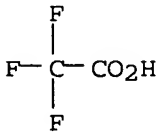
CM 1

CRN 337965-20-5
CMF C27 H20 F3 N3 O4 S



CM 2

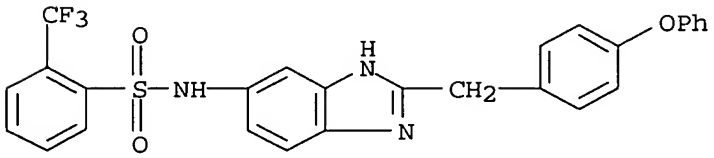
CRN 76-05-1
CMF C2 H F3 O2



RN 337965-23-8 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-2-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

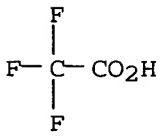
CM 1

CRN 337965-22-7
CMF C27 H20 F3 N3 O3 S



CM 2

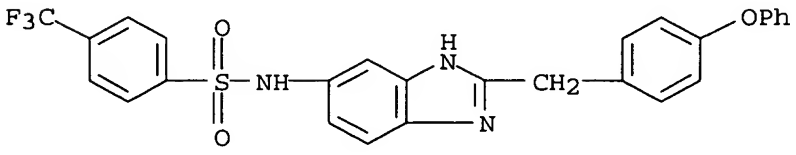
CRN 76-05-1
CMF C2 H F3 O2



RN 337965-25-0 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-4-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

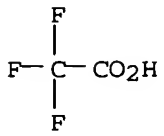
CM 1

CRN 337965-24-9
CMF C27 H20 F3 N3 O3 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



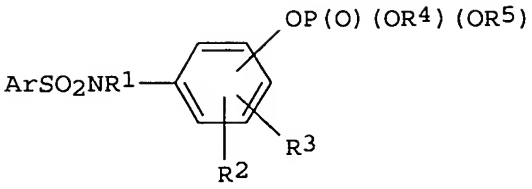
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 7 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:819384 HCAPLUS
DOCUMENT NUMBER: 132:64058
TITLE: Preparation and antitumor activity of arylsulfonanilide phosphates
INVENTOR(S): Houze, Jonathan B.
PATENT ASSIGNEE(S): Tularik Inc., USA
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967258	A1	19991229	WO 1999-US13759	19990616 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2335559	AA	19991229	CA 1999-2335559	19990616 <--
AU 9945768	A1	20000110	AU 1999-45768	19990616 <--
AU 763687	B2	20030731		
EP 1090014	A1	20010411	EP 1999-928777	19990616 <--
EP 1090014	B1	20030903		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002518506	T2	20020625	JP 2000-555910	19990616 <--
AT 248845	E	20030915	AT 1999-928777	19990616
US 6211167	B1	20010403	US 2000-595398	20000614 <--
US 2001018430	A1	20010830	US 2001-779419	20010207 <--
US 6417176	B2	20020709		

PRIORITY APPLN. INFO.:	US 1998-90681P	P	19980625
	WO 1999-US13759	W	19990616
	US 1999-336062	B1	19990618
	US 2000-595398	A1	20000614

OTHER SOURCE(S): MARPAT 132:64058
GI



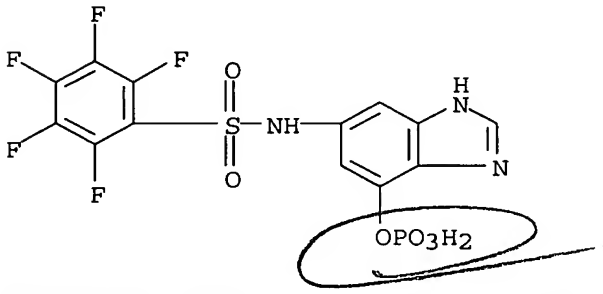
I

AB The title compds. I [R1 = H, alkyl, heteroalkyl; R2, R3 = H, halo, alkyl, etc.; R2 and R3 when attached to adjacent C atoms can form a ring; R4, R5 = H, alkyl, aryl, etc.; Ar = substituted Ph] were prepared and their antitumor activity assessed. E.g., 5-(pentafluorophenylsulfonamido)-2-methoxyphenyl phosphate was prepared

IT **253141-42-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antitumor activity of arylsulfonanilide phosphates)

RN 253141-42-3 HCAPLUS

CN Benzenesulfonamide, 2,3,4,5,6-pentafluoro-N-[7-(phosphonooxy)-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 8 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:505930 HCAPLUS

DOCUMENT NUMBER: 131:157761

TITLE: 5-Membered heterocyclic condensed benzo derivatives, their preparation, and their use as drugs

INVENTOR(S): Ries, Uwe; Hauel, Norbert; Mihm, Gerhard; Priepke, Henning; Binder, Klaus; Stassen, Jean Marie; Wienen, Wolfgang; Zimmermann, Rainer

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: Ger. Offen., 94 pp.
CODEN: GWXXBX

DOCUMENT TYPE: **Patent**

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

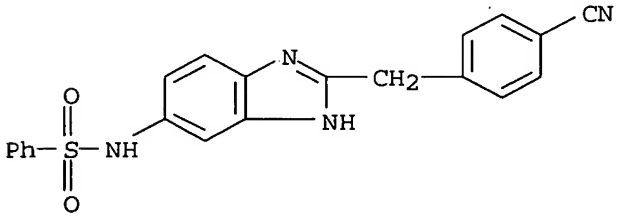
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19804085	A1	19990805	DE 1998-19804085	19980203 <--
CA 2319494	AA	19990812	CA 1999-2319494	19990128 <--
WO 9940072	A1	19990812	WO 1999-EP537	19990128 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9927201	A1	19990823	AU 1999-27201	19990128 <--
EP 1060166	A1	20001220	EP 1999-907437	19990128 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002502844	T2	20020129	JP 2000-530502	19990128 <--
US 6114532	A	20000905	US 1999-243200	19990202 <--
PRIORITY APPLN. INFO.:			DE 1998-19804085 A	19980203
			US 1998-77694P P	19980312
			DE 1998-19834325 A	19980730
			WO 1999-EP537 W	19990128

OTHER SOURCE(S): MARPAT 131:157761

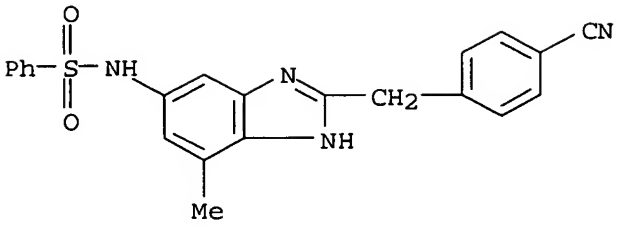
AB Approx. 300 antithrombotic title compds. such as 4-[5-[N-(8-quinolylsulfonyl)-N-(carboxymethyl)amino]-1-methyl-1H-benzimidazol-2-ylmethyl]benzamidine hydrochloride (I), 4-[5-[N-(benzenesulfonyl)-N-[2-(dimethylamino)ethyl]amino]-1-benzyl-1H-benzimidazol-2-ylmethyl]benzamidine dihydrochloride, 4-[5-[N-(3-carboxypropionyl)-N-(cyclopentyl)amino]-1-methyl-1H-benzimidazol-2-ylmethyl]benzamidine hydrochloride (II), and 4-[5-[N-(8-quinolylsulfonyl)-N-(carboxymethyl)amino]-1-methyl-1H-benzothiazol-2-ylmethyl]benzamidine hydrochloride were prepared by standard methods. The ED200 in μM for I was 0.92 and for II was 0.82. Formulations for the antithrombotics were given.

IT **237750-73-1 237750-74-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and antithrombotic activity of benzimidazolylmethylbenzamidines)

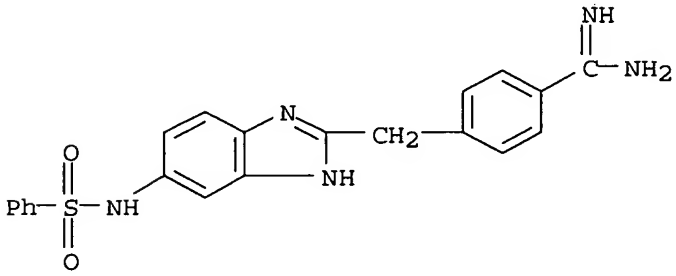
RN 237750-73-1 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



RN 237750-74-2 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-7-methyl-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)

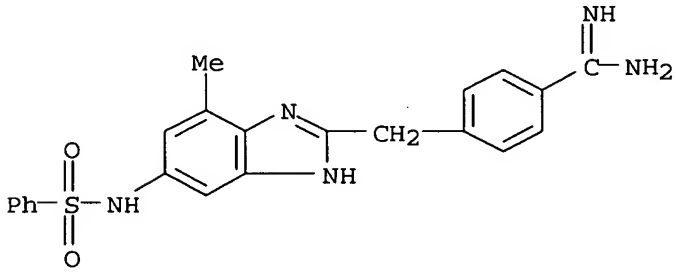


IT **236414-29-2P 236414-31-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antithrombotic activity of benzimidazolylmethylbenzamidines)
RN 236414-29-2 HCAPLUS
CN Benzenecarboximidamide, 4-[[5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 236414-31-6 HCAPLUS
CN Benzenecarboximidamide, 4-[[4-methyl-6-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L23 ANSWER 9 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:35065 HCAPLUS

DOCUMENT NUMBER: 130:110166
TITLE: Preparation of amidinophenylpropionyltetrahydroquinolines and related compounds as antithrombotics.
INVENTOR(S): Heckel, Armin; Soyka, Rainer; Grell, Wolfgang; Haaksma, Eric; Binder, Klaus; Zimmermann, Rainer
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: Ger. Offen., 50 pp.
CODEN: GWXXBX
DOCUMENT TYPE: **Patent**
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19727117	A1	19990107	DE 1997-19727117	19970626 <--
CA 2288744	AA	19990107	CA 1998-2288744	19980622 <--
WO 9900371	A1	19990107	WO 1998-EP3800	19980622 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9887279	A1	19990119	AU 1998-87279	19980622 <--
EP 991624	A1	20000412	EP 1998-938621	19980622 <--
EP 991624	B1	20031119		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002511088	T2	20020409	JP 1999-505265	19980622 <--
AT 254602	E	20031215	AT 1998-938621	19980622
MX 9911261	A	20000630	MX 1999-11261	19991206 <--
US 6300342	B1	20011009	US 1999-457961	19991209 <--

PRIORITY APPLN. INFO.: DE 1997-19727117 A 19970626
WO 1998-EP3800 W 19980622

OTHER SOURCE(S): MARPAT 130:110166
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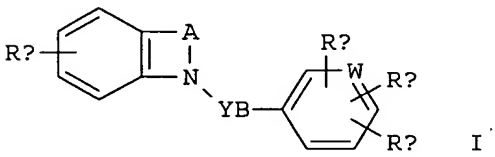


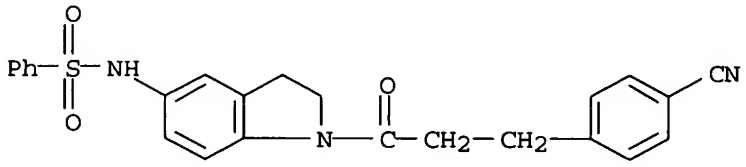
I

AB Title compds. [I; Ra = H, NO2, amino, aminocarbonyl; Rb = cyano, aminomethyl, (substituted) amidino; Rc, Rd = H, F, Cl, Br, iodo, Me, MeO, NO2, amino; A = (substituted) ethylene, ethyenylene, propylene, etc.; B = bond, (substituted) methylene, ethylene, ethenylene, propylene, etc.; W = N, CH; Y = CH2, CO, CS], were prepared Thus, 1-[3-(4-amidinophenyl)propionyl]-1,2,3,4-tetrahydroquinoline-6-carboxylic acid methyl-N-phenylamide (preparation given) had a thrombin time ED200 = 0.02 μM.

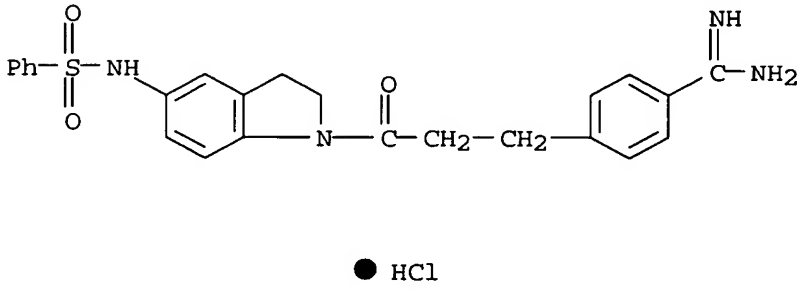
IT **219643-32-0P 219644-16-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidinophenylpropionyltetrahydroquinolines and related compds. as antithrombotics)

RN 219643-32-0 HCAPLUS
CN 1H-Indol-5-amine, 1-[3-(4-cyanophenyl)-1-oxopropyl]-2,3-dihydro-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 219644-16-3 HCAPLUS
CN 1H-Indol-5-amine, 1-[3-[4-(aminoiminomethyl)phenyl]-1-oxopropyl]-2,3-dihydro-N-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L23 ANSWER 10 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:682229 HCAPLUS
DOCUMENT NUMBER: 129:302552
TITLE: Preparation of 1,4-disubstituted cyclic amine derivatives as serotonin antagonists
INVENTOR(S): Kitazawa, Noritaka; Ueno, Kohshi; Takahashi, Keiko; Kimura, Teiji; Sasaki, Atsushi; Kawano, Koki; Okabe, Tadashi; Komatsu, Makoto; Matsunaga, Manabu; Kubota, Atsuhiko
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 635 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9843956	A1	19981008	WO 1998-JP1481	19980331 <--
W: AU, CA, CN, HU, JP, KR, MX, NO, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2280753	AA	19981008	CA 1998-2280753	19980331 <--

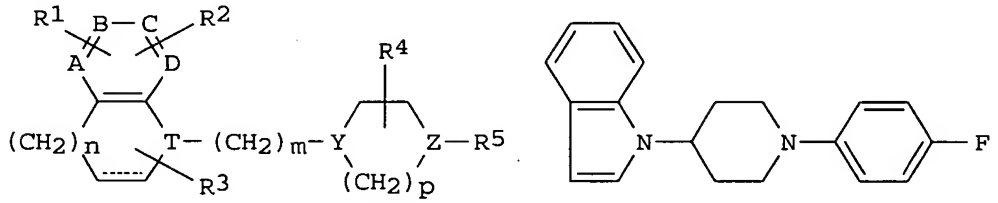
AU 9865209	A1	19981022	AU 1998-65209	19980331 <--
AU 748038	B2	20020530		
ZA 9802707	A	19991020	ZA 1998-2707	19980331 <--
EP 976732	A1	20000202	EP 1998-911137	19980331 <--
EP 976732	B1	20041124		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
NZ 337651	A	20020426	NZ 1998-337651	19980331 <--
RU 2203275	C2	20030427	RU 1999-123039	19980331
AT 283259	E	20041215	AT 1998-911137	19980331
ES 2230681	T3	20050501	ES 1998-911137	19980331
US 6448243	B1	20020910	US 1999-367227	19990811 <--
NO 9904720	A	19991130	NO 1999-4720	19990928 <--
NO 314543	B1	20030407		
HK 1026700	A1	20050826	HK 2000-105871	20000919
US 2002086999	A1	20020704	US 2001-846259	20010502 <--
US 2002019531	A1	20020214	US 2001-859517	20010518 <--
US 6579881	B2	20030617		

PRIORITY APPLN. INFO.:

JP 1997-98433	A	19970331
JP 1997-366764	A	19971226
WO 1998-JP1481	W	19980331
US 1999-367227	A3	19990811

OTHER SOURCE(S): MARPAT 129:302552

GI



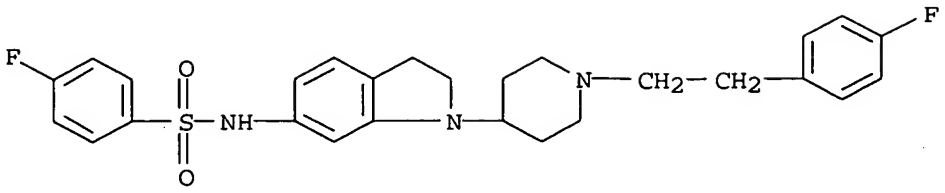
I II

AB The title compds. (I; A, B, C, D, T, Y, and Z each represents a methine group or a nitrogen atom; R1, R2, R3, R4, and R5 each represents a substituent, such as halo, OH, hydroxyalkoxy, lower alkyl, etc.; n is an integer of 0 to 3; m is an integer of 0 to 6; and p is an integer of 1 to 3; dotted bond represents a single or double bond) are prepared I have serotonin antagonism and serve as drugs for the treatment, alleviation and prevention of spastic paralysis or a central muscle relaxant for alleviating myotonia. Thus, indoline was reacted with 1-(4-fluorophenyl)-4-piperidone in the presence of NaB(OAc)3 in AcOH and dichloroethane to give 63% the title compound (II), which showed binding activity of 623.94 and > 200 nM for 5HT1a and 5HT2 resp.

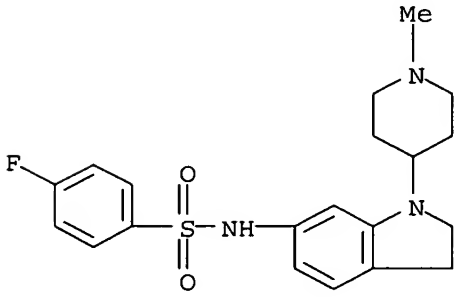
IT **214611-39-9P 214612-56-3P 214612-57-4P**
214616-20-3P 214617-24-0P 214617-25-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,4-disubstituted cyclic amine derivs. as serotonin antagonists)

RN 214611-39-9 HCAPLUS

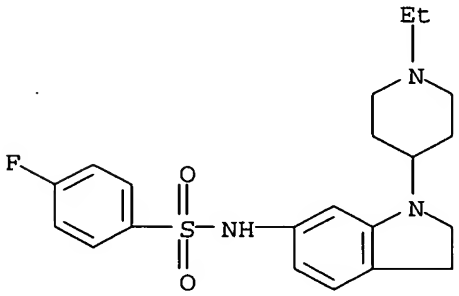
CN Benzenesulfonamide, 4-fluoro-N-[1-[1-[2-(4-fluorophenyl)ethyl]-4-piperidinyl]-2,3-dihydro-1H-indol-6-yl]- (9CI) (CA INDEX NAME)



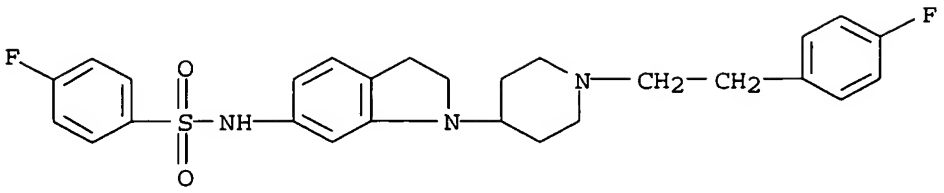
RN 214612-56-3 HCAPLUS
CN Benzenesulfonamide, N-[2,3-dihydro-1-(1-methyl-4-piperidinyl)-1H-indol-6-yl]-4-fluoro- (9CI) (CA INDEX NAME)



RN 214612-57-4 HCAPLUS
CN Benzenesulfonamide, N-[1-(1-ethyl-4-piperidinyl)-2,3-dihydro-1H-indol-6-yl]-4-fluoro- (9CI) (CA INDEX NAME)

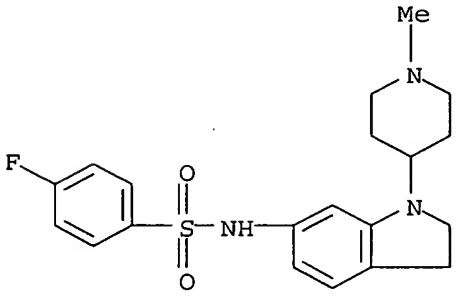


RN 214616-20-3 HCAPLUS
CN Benzenesulfonamide, 4-fluoro-N-[1-[1-[2-(4-fluorophenyl)ethyl]-4-piperidinyl]-2,3-dihydro-1H-indol-6-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



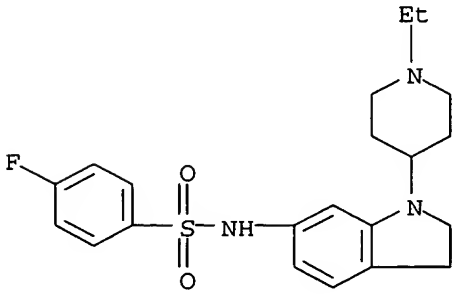
● HCl

RN 214617-24-0 HCAPLUS
CN Benzenesulfonamide, N-[2,3-dihydro-1-(1-methyl-4-piperidinyl)-1H-indol-6-yl]-4-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

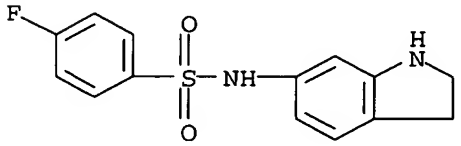
RN 214617-25-1 HCAPLUS
CN Benzenesulfonamide, N-[1-(1-ethyl-4-piperidinyl)-2,3-dihydro-1H-indol-6-yl]-4-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT **214615-14-2P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1,4-disubstituted cyclic amine derivs. as serotonin antagonists)
RN 214615-14-2 HCAPLUS
CN Benzenesulfonamide, N-(2,3-dihydro-1H-indol-6-yl)-4-fluoro- (9CI) (CA INDEX NAME)



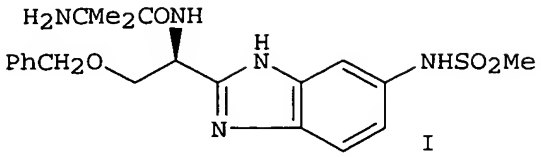
REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 124 ibib abs hitstr 1-20

L24 ANSWER 1 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:150554 HCAPLUS
DOCUMENT NUMBER: 138:188073
TITLE: Preparation of dipeptide heterocyclic aromatic compounds as growth hormone secretagogues
INVENTOR(S): Tino, Joseph A.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S., 157 pp., Cont.-in-part of U.S. Ser. No. 506,749, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6525203	B1	20030225	US 2000-662448	20000914 <--
US 6518292	B1	20030211	US 2000-506749	20000218 <--
ZA 2001006854	A	20021120	ZA 2001-6854	20010820 <--
US 6660760	B1	20031209	US 2002-282182	20021028 <--
US 2004002525	A1	20040101	US 2002-281818	20021028 <--
US 6969727	B2	20051129		
US 2004029935	A1	20040212	US 2002-281649	20021028 <--
US 6908938	B2	20050621		
US 2004072881	A1	20040415	US 2002-281848	20021028 <--

PRIORITY APPLN. INFO.: US 1999-124131P P 19990312
US 1999-154919P P 19990921
US 2000-506749 A2 20000218
OTHER SOURCE(S): MARPAT 138:188073
GI



I

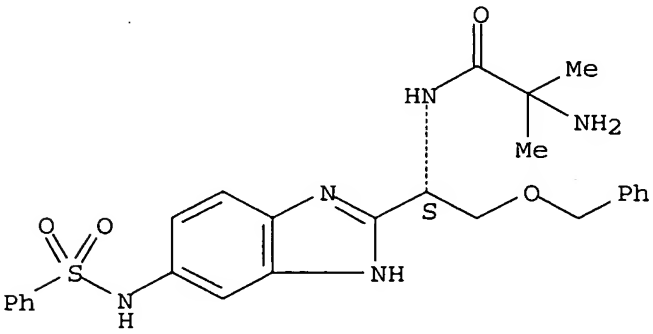
AB R1R1aCXaNR6COYXb [R1 = (un)substituted alkyl, (hetero)aryl(alkyl), etc.; R1a = H or (cyclo)alkyl; R6 = H, (cyclo)alkyl, alkenyl, aryl; Xa = substituted 2-benzoxazolyl, 2-benzothiazolyl, or 2-benzimidazolyl; Xb = (di)(alkyl)amino, (un)substituted imidazolyl; Y = phenylene, (phenylene-interrupted)alkylene, (un)substituted alkylene, aza- or oxaalkylene, or alkenylene] were prepared as growth hormone production and/or release stimulants. Thus, dipeptide benzimidazole derivative I (Boc = tert-butoxycarbonyl) was prepared by a multistep procedure starting from Boc-D-Ser(CH2Ph)-OH, 4-nitro-o-phenylenediamine, Boc-methylalanine, and MeSO2Cl.

IT **295335-10-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dipeptide heterocyclic aromatic compds. as growth hormone secretagogues)

RN 295335-10-3 HCAPLUS

CN Propanamide, 2-amino-2-methyl-N-[(1S)-2-(phenylmethoxy)-1-[5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 2 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:814232 HCAPLUS
DOCUMENT NUMBER: 137:326555
TITLE: Azo dye-containing coloring composition for image formation with improved ozone resistance
INVENTOR(S): Fujiwara, Toshiki; Hanaki, Naoyuki; Tanaka, Shigeaki; Omatsu, Tadashi; Yabuki, Yoshiharu
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: PCT Int. Appl., 256 pp.

CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083795	A2	20021024	WO 2002-JP3490	20020408 <--
WO 2002083795	A3	20030306		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2002309115	A2	20021023	JP 2001-110333	20010409 <--
JP 2002309133	A2	20021023	JP 2001-110334	20010409 <--
JP 2002309116	A2	20021023	JP 2001-110335	20010409 <--
JP 2003049100	A2	20030221	JP 2001-237903	20010806
JP 2003064275	A2	20030305	JP 2001-254878	20010824
JP 2002371214	A2	20021226	JP 2002-12015	20020121 <--
CA 2439113	AA	20021024	CA 2002-2439113	20020408 <--
EP 1377642	A2	20040107	EP 2002-713302	20020408
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004089200	A1	20040513	US 2003-471650	20030912 <--

PRIORITY APPLN. INFO.:

JP 2001-110333	A	20010409
JP 2001-110334	A	20010409
JP 2001-110335	A	20010409
JP 2001-110457	A	20010409
JP 2001-237903	A	20010806
JP 2001-254878	A	20010824
JP 2002-12015	A	20020121
WO 2002-JP3490	W	20020408

OTHER SOURCE(S): MARPAT 137:326555

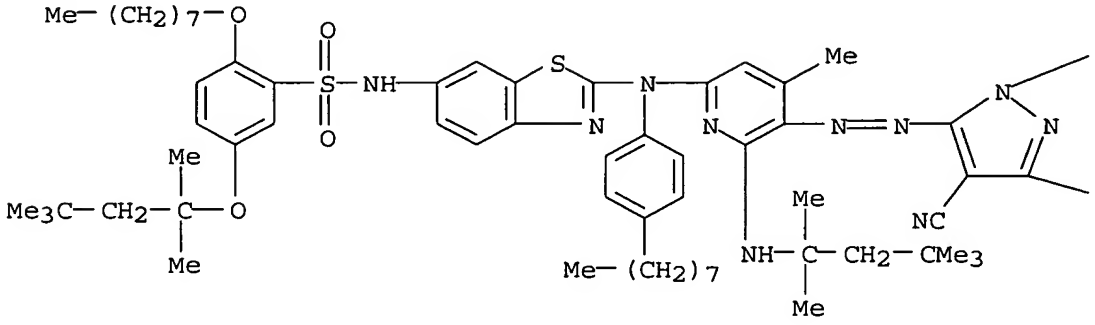
AB A coloring composition for image formation comprises an azo dye having an aromatic nitrogen-containing 6-membered heterocyclic ring as a coupling component and which comprises an azo compound having an oxidation potential better than 1.0 V vs.SCE and having at least two substituents having a pKa value of -10 to 5 in water. Improved ozone resistance is obtained with an azo compound showing a maximum absorption at a wavelength between 500 nm and 580 nm with a half-value width of 150 nm or narrower. The dyes may be used in jet ink compns., color filters, color toners, etc. In an example, 2-amino-4,5-dicyano-1-(ethoxycarbonylmethyl)imidazole→2,6-bis(octylanilino)-4-methylpyridine was prepared as an azo dye (λmax 528 nm in DMF).

IT **473465-65-5 473555-05-4**
RL: TEM (Technical or engineered material use); USES (Uses)
(dye; azo dye-containing coloring compns. for image formation with improved ozone resistance)

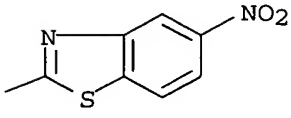
RN 473465-65-5 HCAPLUS
CN Benzenesulfonamide, N-[2-[[5-[[4-cyano-3-(1,1-dimethylethyl)-1-(5-nitro-2-benzothiazolyl)-1H-pyrazol-5-yl]azo]-4-methyl-6-[(1,1,3,3-tetramethylbutyl)amino]-2-pyridinyl](4-octylphenyl)amino]-6-

benzothiazolyl]-2-(octyloxy)-5-(1,1,3,3-tetramethylbutoxy)- (9CI) (CA INDEX NAME)

PAGE 1-A



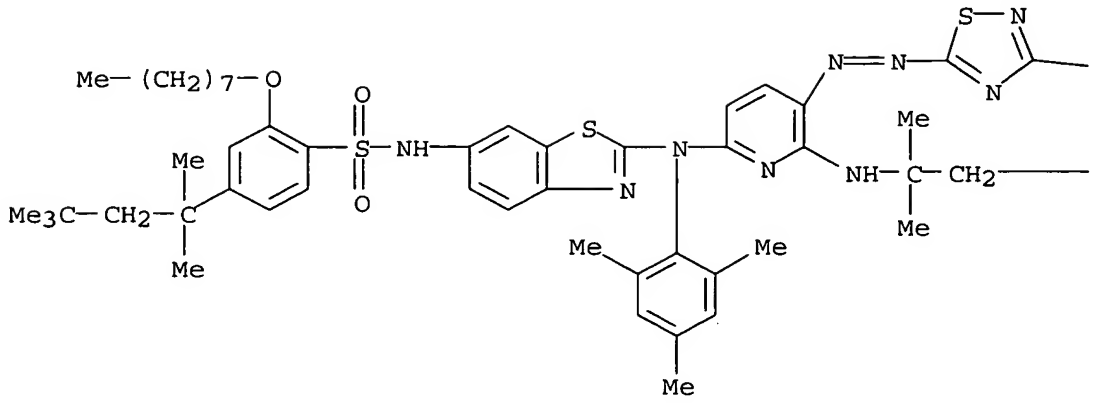
PAGE 1-B



RN 473555-05-4 HCAPLUS

CN Benzenesulfonamide, 2-(octyloxy)-N-[2-[[5-[(3-phenyl-1,2,4-thiadiazol-5-yl)azo]-6-[(1,1,3,3-tetramethylbutyl)amino]-2-pyridinyl](2,4,6-trimethylphenyl)amino]-6-benzothiazolyl]-4-(1,1,3,3-tetramethylbutyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

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— CMe_3

L24 ANSWER 3 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:814122 HCAPLUS
DOCUMENT NUMBER: 137:326554
TITLE: Pyrazole azo dyes, their production and coupling agents therefor
INVENTOR(S): Fujiwara, Toshiki; Hanaki, Naoyuki; Tanaka, Shigeaki; Omatsu, Tadashi; Yabuki, Yoshiharu
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083662	A2	20021024	WO 2002-JP3491	20020408 <--
WO 2002083662	A3	20030306		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

JP 2002322151	A2	20021108	JP 2001-126239		20010424 <--
JP 2002371079	A2	20021226	JP 2002-12108		20020121 <--
EP 1377640	A2	20040107	EP 2002-708777		20020408
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
CN 1501962	A	20040602	CN 2002-808009		20020408
US 2004122219	A1	20040624	US 2003-473419		20030930 <--
PRIORITY APPLN. INFO.:			JP 2001-110458	A	20010409
			JP 2001-126239	A	20010424
			JP 2002-12108	A	20020121
			WO 2002-JP3491	W	20020408

OTHER SOURCE(S): MARPAT 137:326554

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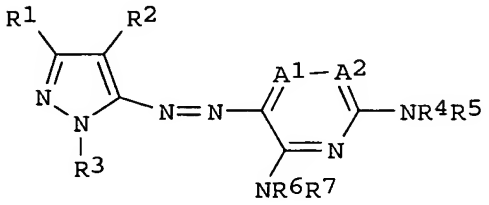


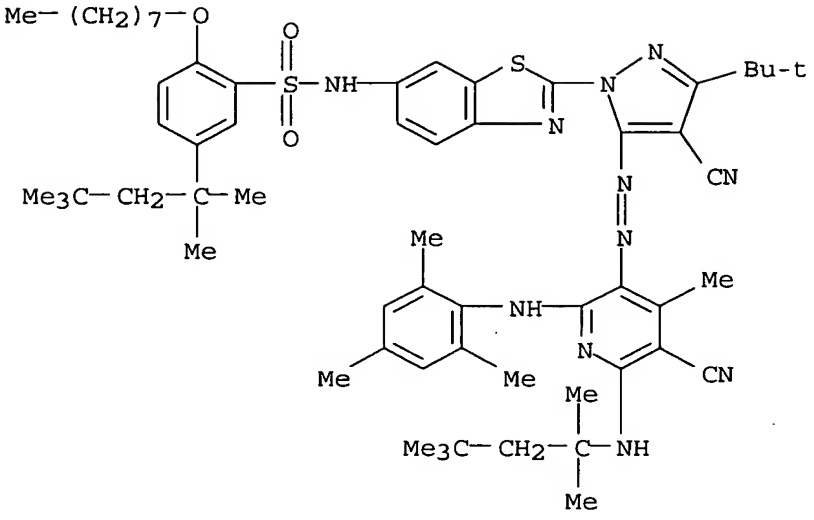
I

AB Aminopyrazole diazo component-based azo dyes (I; A1, A2 = N, optionally substituted -CH=; R1 = H, organic group; R2 = H, halogen, CN; R3 = H, organic group; R4, R5, R6, R7 = H, organic group, carboxy, sulfo, carbamoyl) are obtained from novel diamino heterocyclic coupling components. I are suitable for image formation and recording and have excellent ozone resistance. In an example, 5-amino-3-tert-butyl-4-cyanopyrazole was diazotized and coupled with 3-cyano-4-methyl-2,6-bis(p-octylanilino)pyridine and the product was condensed with 2-chlorobenzothiazole to give a dye (λmax 545 nm in DMF).

IT **473465-24-6P 473465-65-5P**
RL: IMF (Industrial manufacture); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(dye; production of pyrazole azo dyes for image formation and recording)

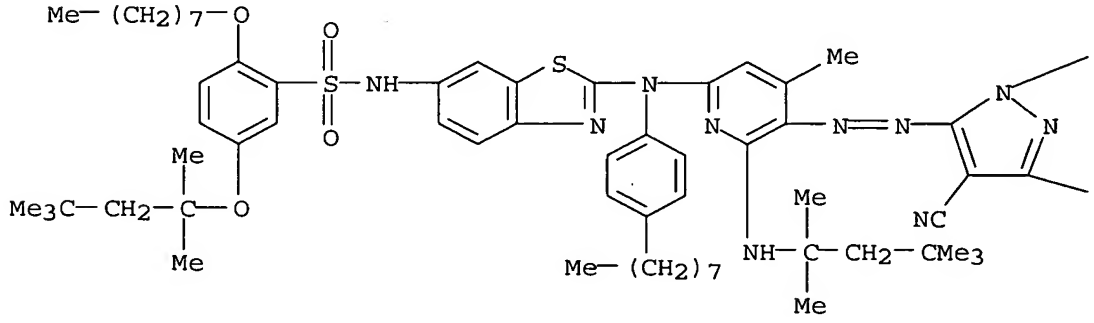
RN 473465-24-6 HCAPLUS

CN Benzenesulfonamide, N-[2-[4-cyano-5-[[5-cyano-4-methyl-6-[(1,1,3,3-tetramethylbutyl)amino]-2-[(2,4,6-trimethylphenyl)amino]-3-pyridinyl]azo]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-6-benzothiazolyl]-2-(octyloxy)-5-(1,1,3,3-tetramethylbutyl)- (9CI) (CA INDEX NAME)

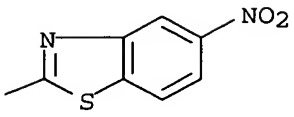


RN 473465-65-5 HCAPLUS
CN Benzenesulfonamide, N-[2-[[5-[[4-cyano-3-(1,1-dimethylethyl)-1-(5-nitro-2-benzothiazolyl)-1H-pyrazol-5-yl]azo]-4-methyl-6-[(1,1,3,3-tetramethylbutyl)amino]-2-pyridinyl](4-octylphenyl)amino]-6-benzothiazolyl]-2-(octyloxy)-5-(1,1,3,3-tetramethylbutoxy)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



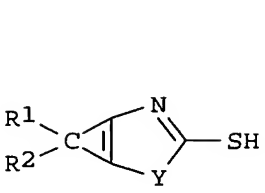
L24 ANSWER 4 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:657951 HCAPLUS
DOCUMENT NUMBER: 137:201300
TITLE: Azoles, e.g., 1,3-benzothiazole and [1,3]thiazolo[5,4-b]pyridine derivatives, as malonyl-CoA decarboxylase inhibitors, useful as metabolic modulators
INVENTOR(S): Arrhenius, Thomas; Cheng, Jie Fei; Wilson, Mark; Serafimov, Rossy
PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002066035	A2	20020829	WO 2002-US4777	20020219 <--
WO 2002066035	A3	20021024		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2437409	AA	20020829	CA 2002-2437409	20020219 <--
EP 1370260	A2	20031217	EP 2002-721032	20020219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002007408	A	20040225	BR 2002-7408	20020219
CN 1492762	A	20040428	CN 2002-805216	20020219
JP 2004522773	T2	20040729	JP 2002-565593	20020219
RU 2258706	C2	20050820	RU 2003-128307	20020219
NZ 526883	A	20051125	NZ 2002-526883	20020219
NO 2003003665	A	20031020	NO 2003-3665	20030819
US 2004092503	A1	20040513	US 2003-468379	20030819 <--

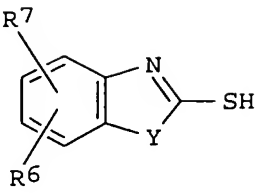
PRIORITY APPLN. INFO.:	US 2001-270034P	P	20010220
	WO 2002-US4777	W	20020219

OTHER SOURCE(S): MARPAT 137:201300

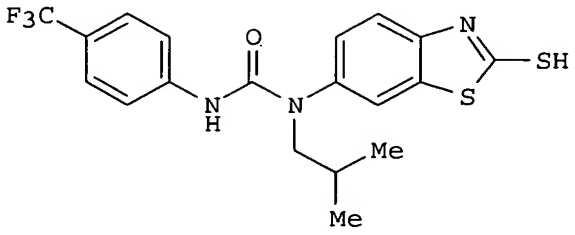
GI



I



II

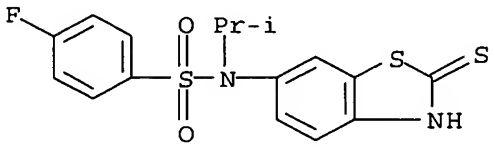


III

AB The invention relates to methods of treatment of certain metabolic diseases, and to novel compds. and their prodrugs, and/or pharmaceutically acceptable salts, and to pharmaceutical compns. containing such compds., useful in treating such diseases. In particular, the invention relates to the use of novel compds. and compns. for treatment of cardiovascular diseases, diabetes, cancers, acidosis, and obesity, through the inhibition of malonyl-CoA decarboxylase (MCD). The compds. have formulas I and II. In the case of I, Y = S or O; C = atoms to form substituted monocyclic 5- to 7- membered ring fusion containing 1-3 heteroatoms (N/O/S); R1 and R2 are different, and each = H, halo, OH, NO2, cyano, (un)substituted alkyl or alkoxy, alkylamino, alkylsulfanyl, aryl, various functional groups and sidechains, or (un)substituted monocyclic 3- to 7-membered ring containing 0-3 heteroatoms (N/O/S). In the case of II, Y = S or O; R6 is placed at either the 5- or 6-position; R6 = phosphorylated amino, heterocyclic ring attached by (un)substituted NH, CO, or O, various acylated amino groups, sulfonylated amino groups, or cyclic amines; R7 = H, alkyl, alkoxy, halo, cyano, sulfonyl, aminosulfonyl; or R6R7 = fused substituted 5- to 7-membered ring containing 1-3 heteroatoms (N/O/S). Examples provided include explicit prepns. of seven compds. I and II, prepns. of several intermediates, and inhibition data for 10 compds. I and II. In addition, over 300 specific compds. I and II are claimed by name. For instance, reductive N-alkylation of 6-amino-1,3-benzothiazole-2-thiol using 2-methylpropanal and NaBH3CN (61%), followed by carbamoylation of the resultant secondary amine with α,α,α-trifluoro-p-tolyl isocyanate (64%) gave title compound III. This highly preferred compound inhibited rat cardiac MCD in vitro with an IC50 of 0.031 μM.

IT **452104-11-9P**, 4-Fluoro-N-isopropyl-N-(2-mercaptobenzothiazol-6-yl)benzenesulfonamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzothiazoles and thiazolopyridines as

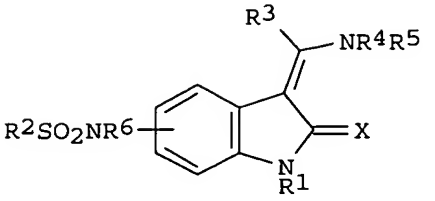
malonyl-CoA decarboxylase inhibitors, useful as metabolic modulators)
RN 452104-11-9 HCAPLUS
CN Benzenesulfonamide, N-(2,3-dihydro-2-thioxo-6-benzothiazolyl)-4-fluoro-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



L24 ANSWER 5 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:353428 HCAPLUS
DOCUMENT NUMBER: 136:369603
TITLE: Preparation of (sulfonylamino)(aminomethylidene)indolinones as cell proliferation inhibitors.
INVENTOR(S): Walter, Rainer; Heckel, Armin; Roth, Gerald Juergen; Kley, Joerg; Schnapp, Gisela; Lenter, Martin; Van Meel, Jacobus Constantinus Antonius; Spevak, Walter; Weyer-Czernilofsky, Ulrike
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002036564	A1	20020510	WO 2001-EP12523		20011030 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
DE 10054019	A1	20020523	DE 2000-10054019		20001101 <--
AU 2002015980	A5	20020515	AU 2002-15980		20011030 <--
EP 1341760	A1	20030910	EP 2001-992699		20011030
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004513113	T2	20040430	JP 2002-539324		20011030
US 2003069299	A1	20030410	US 2001-2939		20011101 <--
US 6638965	B2	20031028			
US 2004044222	A1	20040304	US 2003-646423		20030822 <--
US 2004044053	A1	20040304	US 2003-646495		20030822 <--
PRIORITY APPLN. INFO.:			DE 2000-10054019	A	20001101
			US 2000-251055P	P	20001201
			WO 2001-EP12523	W	20011030
			US 2001-2939	A3	20011101

OTHER SOURCE(S): MARPAT 136:369603
GI



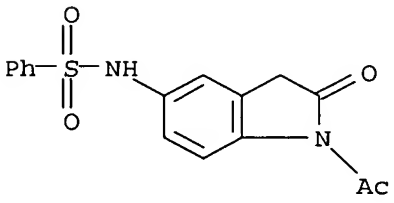
I

AB Title compds. [I; X = O, S; R1 = H, alkoxycarbonyl, alkanoyl; R2 = (substituted) alkyl, alkenyl, Ph, heteroaryl, cycloalkyl, naphthyl, etc.; R3 = H, alkyl; R4 = (substituted) Ph, naphthyl, heteroaryl; R5, R6 = H, alkyl], were prepared Thus, 1-acetyl-3-(1-ethoxy-1-phenylmethylidene)-5-(N-acetyl-N-phenylsulfonylamino)-2-indolinone (preparation given) and 4-[N-acetyl-N-(2-trifluoracetylaminoethyl)amino]aniline (preparation given) were heated in DMF for 6 h at 120° to give 49% (Z)-3-[1-[4-[N-acetyl-N-(2-aminoethyl)amino]phenylamino]-1-phenylmethylidene]-5-phenylsulfonylamino-2-indolinone. Tested I inhibited proliferation of leiomyosarcoma SK-UT-1B cells in mice at <0.01 μM-1.0 μM.

IT **422518-12-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (sulfonylamino)(aminomethylidene)indolinones as cell proliferation inhibitors)

RN 422518-12-5 HCAPLUS

CN 2H-Indol-2-one, 1-acetyl-1,3-dihydro-5-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 6 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:31423 HCAPLUS

DOCUMENT NUMBER: 136:102388

TITLE: Preparation of 2-(benzoazolidinylene)propane-1,3-dione derivatives as GnRH receptor antagonists

INVENTOR(S): Hirano, Masaaki; Kawaminami, Eiji; Toyoshima, Akira; Moritomo, Hiroyuki; Seki, Norio; Wakayama, Ryutaro; Okada, Minoru; Kusayama, Toshiyuki

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2

DOCUMENT TYPE: **Patent**

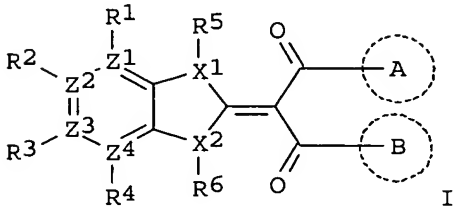
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002533	A1	20020110	WO 2001-JP5813	20010704 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2415010	AA	20020110	CA 2001-2415010	20010704 <--
AU 2001071022	A5	20020114	AU 2001-71022	20010704 <--
EP 1300398	A1	20030409	EP 2001-949914	20010704
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003191164	A1	20031009	US 2002-311688	20021219 <--
US 6960591	B2	20051101		
US 2005267110	A1	20051201	US 2005-155595	20050620 <--

PRIORITY APPLN. INFO.:	JP 2000-204425	A	20000705
	JP 2001-153372	A	20010523
	WO 2001-JP5813	W	20010704
	US 2002-311688	A3	20021219

OTHER SOURCE(S): MARPAT 136:102388
GI



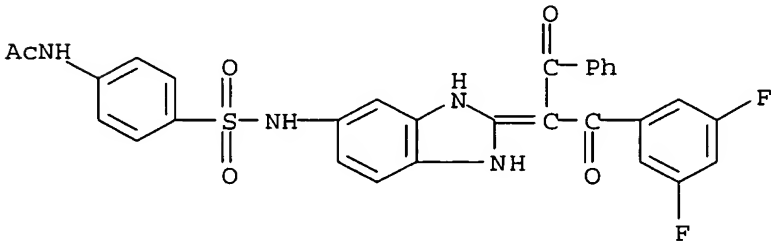
I

AB Described are medicinal compns., in particular, gonadotropin releasing hormone (GnRH) receptor antagonists comprising propane-1,3-dione derivs. represented by the following general formula [I; R1 , R2, R3, R4 = H,NO2, cyano, halo, (un)substituted hydrocarbyl, heterocyclyl, OH, CO2H, acyloxy, or acyl, substituent-S(O)n, H-S(O)n (wherein n = an integer of 0-2), (un)substituted CONH2, SO2NH2, or NH2; or two adjacent groups selected from R1-R4 are taken together to form aryl or cycloalkenyl; R5, R6 = H, halo, (un)substituted hydrocarbyl or NH2; X1, X2 = N, S, O; A, B = (un)substituted aryl or heterocyclyl; Z1, Z2, Z3, Z4 = C, N; provided that (1) when X1 and X2 are S or O, both or one of R5 and R6 is absent or (2) when 1 to 4 of Z1, Z2, Z3, and /or Z4 is N, the corresponding R1, R2, R3, and/or R4 is absent.] as the active ingredient. These compds. I are nonpeptide compds. having a GnRH antagonism and lowering sex hormone and are useful for the treatment of sex hormone-dependent diseases such as prostate cancer, breast cancer, endometriosis, and hysteromyoma. Thus,

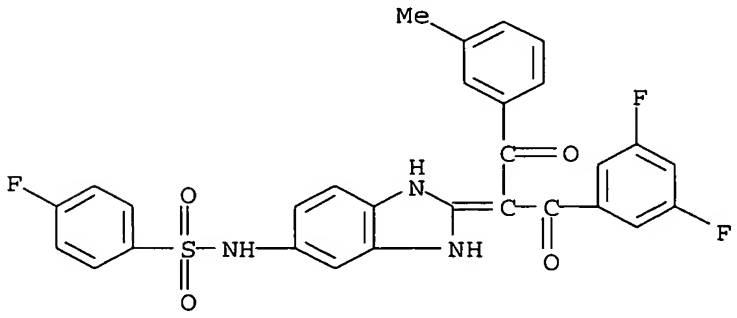
K2CO3 and NaI were successively added to a son. of 1-(3,5-difluorophenyl)-2-(5-hydroxy-1,3-dihydro-2H-benzimidazol-2-ylidene)-3-phenylpropane-1,3-dione (preparation given) and 3-chloromethylpyridine hydrochloride in MeCN and stirred at 80° for 3.5 h to give 1-(3,5-difluorophenyl)-2-[5-(3-pyridylmethoxy)-1,3-dihydro-2H-benzimidazol-2-ylidene]-3-phenylpropane-1,3-dione (II). II and 24 other compds. I in vitro showed IC50 of 10-10 to 10-9 M for inhibiting the binding of 125I-D-Trp6-LHRH to human GnRH receptor. In particular, 2-(dihydrobenzoimidazol-2-ylidene)propane-1,3-dione derivs. exhibited the GnRH receptor-inhibitory activity equivalent to that of the peptide GnRH antagonist cetrorelix.

IT **388596-43-8P 388596-44-9P 388596-45-0P**
388596-46-1P 388599-22-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (benzoazolidinylene)propanedione derivs. as GnRH receptor antagonists for treating sex hormone-dependent diseases)

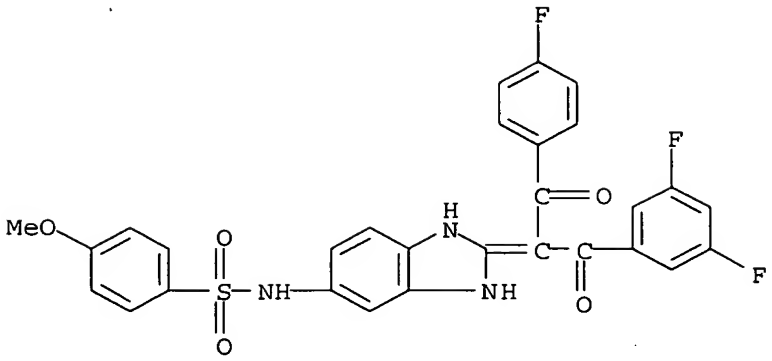
RN 388596-43-8 HCAPLUS
CN Acetamide, N-[4-[[[2-[1-benzoyl-2-(3,5-difluorophenyl)-2-oxoethylidene]-2,3-dihydro-1H-benzimidazol-5-yl]amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



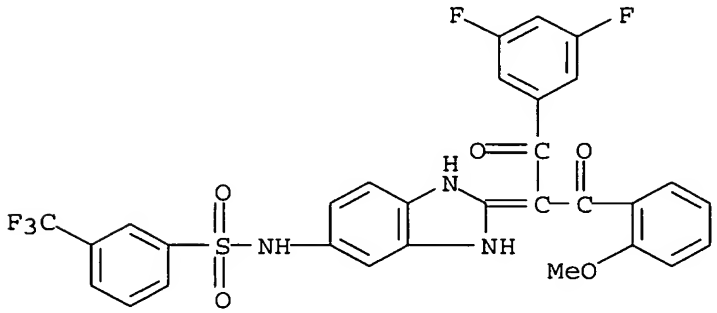
RN 388596-44-9 HCAPLUS
CN Benzenesulfonamide, N-[2-[1-(3,5-difluorobenzoyl)-2-(3-methylphenyl)-2-oxoethylidene]-2,3-dihydro-1H-benzimidazol-5-yl]-4-fluoro- (9CI) (CA INDEX NAME)



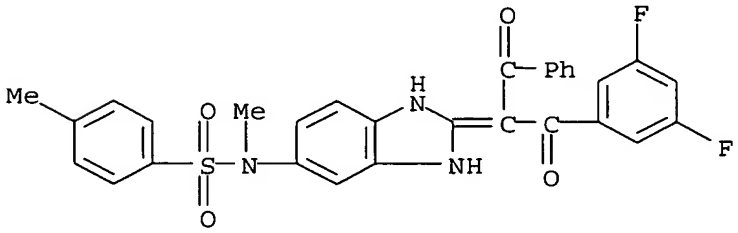
RN 388596-45-0 HCAPLUS
CN Benzenesulfonamide, N-[2-[1-(3,5-difluorobenzoyl)-2-(4-fluorophenyl)-2-oxoethylidene]-2,3-dihydro-1H-benzimidazol-5-yl]-4-methoxy- (9CI) (CA INDEX NAME)



RN 388596-46-1 HCAPLUS
CN Benzenesulfonamide, N-[2-[1-(3,5-difluorobenzoyl)-2-(2-methoxyphenyl)-2-oxoethylidene]-2,3-dihydro-1H-benzimidazol-5-yl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

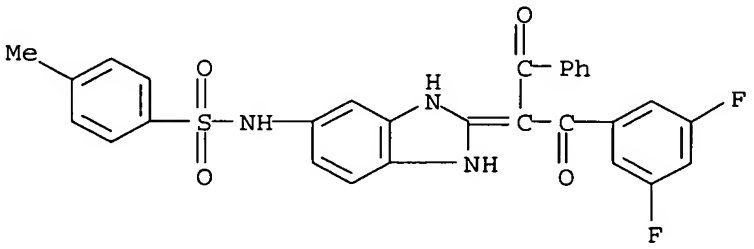


RN 388599-22-2 HCAPLUS
CN Benzenesulfonamide, N-[2-[1-benzoyl-2-(3,5-difluorophenyl)-2-oxoethylidene]-2,3-dihydro-1H-benzimidazol-5-yl]-N,4-dimethyl- (9CI) (CA INDEX NAME)



IT **388600-59-7**, N-[2-[1-Benzoyl-2-(3,5-difluorophenyl)-2-oxoethylidene]-2,3-dihydro-1H-benzimidazol-5-yl]-4-methylbenzenesulfonamide
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (benzoazolidinylene)propanedione derivs. as GnRH receptor antagonists for treating sex hormone-dependent diseases)
RN 388600-59-7 HCAPLUS
CN Benzenesulfonamide, N-[2-[1-benzoyl-2-(3,5-difluorophenyl)-2-

oxoethylidene]-2,3-dihydro-1H-benzimidazol-5-yl]-4-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 7 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:581738 HCAPLUS
DOCUMENT NUMBER: 135:175421
TITLE: Integrin expression inhibitors
INVENTOR(S): Wakabayashi, Toshiaki; Funahashi, Yasuhiro; Hata, Naoko; Semba, Taro; Yamamoto, Yuji; Haneda, Toru; Owa, Takashi; Tsuruoka, Akihiko; Kamata, Junichi; Okabe, Tadashi; Takahashi, Keiko; Nara, Kazumasa; Hamaoka, Shinichi; Ueda, Norihiro
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 153 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001056607	A1	20010809	WO 2001-JP713	20010201 <--
W: AU, CA, CN, HU, JP, KR, MX, NO, NZ, RU, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2399001	AA	20010809	CA 2001-2399001	20010201 <--
AU 2001028867	A5	20010814	AU 2001-28867	20010201 <--
AU 781506	B2	20050526		
EP 1258252	A1	20021120	EP 2001-948941	20010201 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
NZ 520299	A	20040528	NZ 2001-520299	20010201
RU 2240826	C2	20041127	RU 2002-123580	20010201
US 2004018192	A1	20040129	US 2002-181562	20020718 <--
NO 2002003688	A	20021003	NO 2002-3688	20020802 <--
US 2005176712	A1	20050811	US 2005-97218	20050404 <--

PRIORITY APPLN. INFO.:

JP 2000-26080	A	20000203
JP 2000-402084	A	20001228
WO 2001-JP713	W	20010201
US 2002-181562	A1	20020718

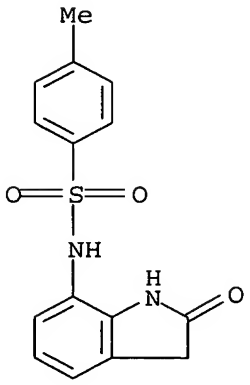
OTHER SOURCE(S): MARPAT 135:175421
AB Integrin expression inhibitors and remedies for arteriosclerosis, psoriasis, cancer, retinal angiogenesis, diabetic retinitis or

inflammatory diseases, anticoagulant agents and cancerous metastasis inhibitors based on the integrin inhibitory effect. Namely, integrin expression inhibitors containing as the active ingredient sulfonamide compds. represented by the following general formula BKSO2N(R1)ZR, pharmacol. acceptable salts thereof or hydrates of the same wherein B represents optionally substituted C6-10 aryl or 6- to 10-membered heteroaryl wherein the ring may be partly saturated; K represents a single bond, -CH=CH- or -(CR4bR5b)mb- (wherein R4b and R5b may be the same or different and each represents hydrogen or C1-4 alkyl; and mb represents an integer of 1 or 2); R1 represents hydrogen or C1-6 alkyl; Z represents a single bond or CO-NH-; and R represents optionally substituted C6-10 aryl or 6- to 10-membered heteroaryl wherein the ring may be partly saturated

IT **165668-28-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(integrin expression inhibitors for medical uses)

RN 165668-28-0 HCAPLUS

CN Benzenesulfonamide, N-(2,3-dihydro-2-oxo-1H-indol-7-yl)-4-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 8 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:338351 HCAPLUS

DOCUMENT NUMBER: 134:340508

TITLE: Preparation of 2-benzyl and 2-heteroaryl benzimidazole NMDA/NR2B antagonists

INVENTOR(S): McCauley, John A.; Theberge, Cory R.; Liverton, Nigel J.; Claremon, David A.; Claiborne, Christopher F.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2

DOCUMENT TYPE: **Patent**

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001032174	A1	20010510	WO 2000-US29470	20001026 <--

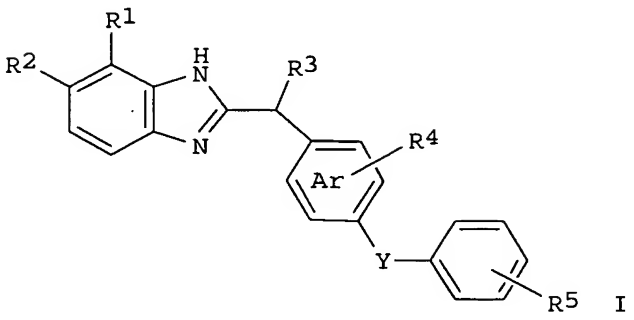
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6316474	B1	20011113	US 2000-696501		20001025 <--
CA 2389259	AA	20010510	CA 2000-2389259		20001026 <--
EP 1242076	A1	20020925	EP 2000-975393		20001026 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL					
JP 2003513041	T2	20030408	JP 2001-534379		20001026
PRIORITY APPLN. INFO.:			US 1999-162351P	P	19991029
			WO 2000-US29470	W	20001026

OTHER SOURCE(S): MARPAT 134:340508

GI



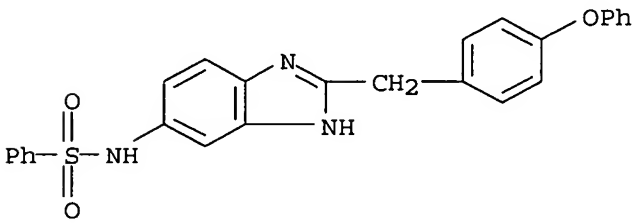
I

AB Novel benzimidazoles, substituted in the 2-position by substituted benzyl groups or heteroaryl groups, (I) [wherein R1, R2, R4, and R5 = independently H, Cl, F, OH, OMe, CF3, OCF3, NH2, CN, NO2, (amino)alkyl, aryl, alkylcarbonylamino, oxohydroxydibenzopyranyl-substituted carboxyphenylthioureido or carbonylaminoalkylcarbonylamino, R6SO2NH, R6SO2NMe, or R6SO2NHCH2; R3 = H, OH, NH2, alkylamino, arylamino, or :O; R6 = (un)substituted alkyl, (phenyl)alkenyl, Ph, naphthyl, or heterocyclic group; Y = O, NH, (CH2)nCO(CH2)n, or (CH2)nCHR3(CH2)n; n = 0-5; Ar may be substituted with 0-3 N atoms in positions 2, 3, 5, or 6] were prepared as effective NMDA NR2B glutamate receptor antagonists. For example, cycloaddn. of phenylenediamine and (4-phenoxyphenyl)acetic acid in presence of EDC and HOBt in DMF afforded 2-(4-phenoxybenzyl)-1H-benzimidazole. Exptl. protocols for assessing the inhibition of NR1A/2B NMDA receptor activation (FLIPR assay) and determining the apparent dissociation consts. against the human NR1A/NR2B receptor (binding assay) are given (no data). I are useful for relieving pain and treating depression, schizophrenia, Parkinson's disease, or stroke (no data).

IT **337965-02-3P 337965-03-4P 337965-05-6P 337965-07-8P 337965-09-0P 337965-11-4P 337965-13-6P 337965-15-8P 337965-17-0P 337965-19-2P 337965-21-6P 337965-23-8P**

337965-25-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-benzyl and 2-heteroaryl benzimidazole NMDA/NR2B antagonists by cycloaddn. of phenylenediamines with arylacetates)

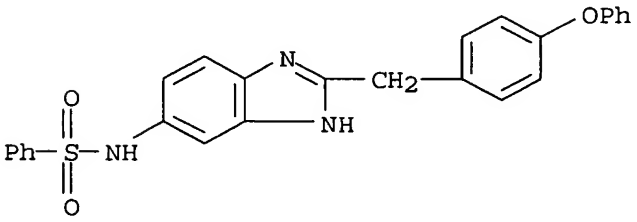
RN 337965-02-3 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



RN 337965-03-4 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

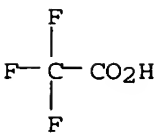
CM 1

CRN 337965-02-3
CMF C26 H21 N3 O3 S



CM 2

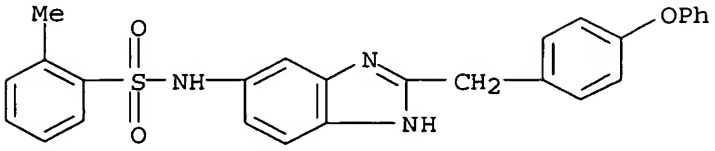
CRN 76-05-1
CMF C2 H F3 O2



RN 337965-05-6 HCAPLUS
CN Benzenesulfonamide, 2-methyl-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

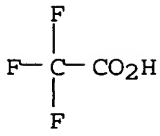
CM 1

CRN 337965-04-5
CMF C27 H23 N3 O3 S



CM 2

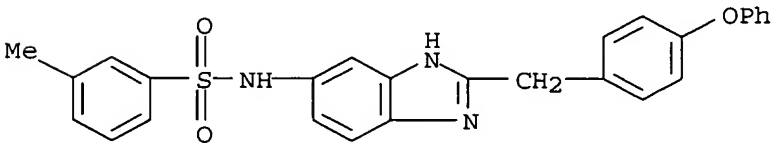
CRN 76-05-1
CMF C2 H F3 O2



RN 337965-07-8 HCAPLUS
CN Benzenesulfonamide, 3-methyl-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

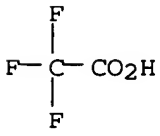
CM 1

CRN 337965-06-7
CMF C27 H23 N3 O3 S



CM 2

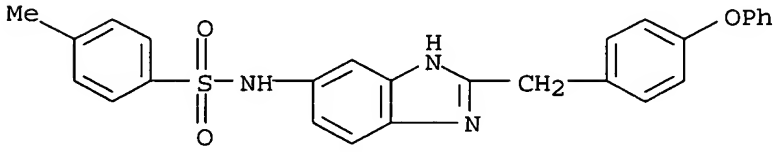
CRN 76-05-1
CMF C2 H F3 O2



RN 337965-09-0 HCAPLUS
CN Benzenesulfonamide, 4-methyl-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

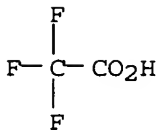
CM 1

CRN 337965-08-9
CMF C27 H23 N3 O3 S



CM 2

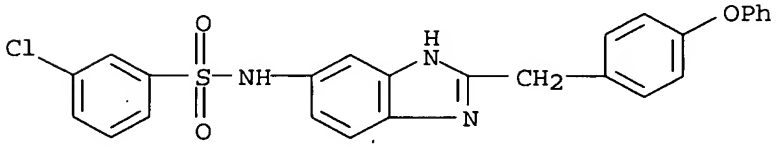
CRN 76-05-1
CMF C2 H F3 O2



RN 337965-11-4 HCAPLUS
CN Benzenesulfonamide, 3-chloro-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

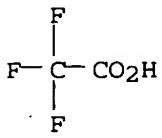
CM 1

CRN 337965-10-3
CMF C26 H20 Cl N3 O3 S



CM 2

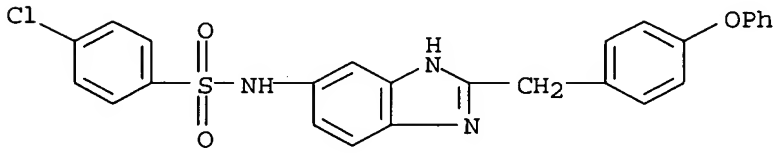
CRN 76-05-1
CMF C2 H F3 O2



RN 337965-13-6 HCAPLUS
CN Benzenesulfonamide, 4-chloro-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

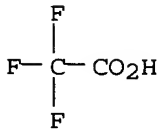
CM 1

CRN 337965-12-5
CMF C26 H20 Cl N3 O3 S



CM 2

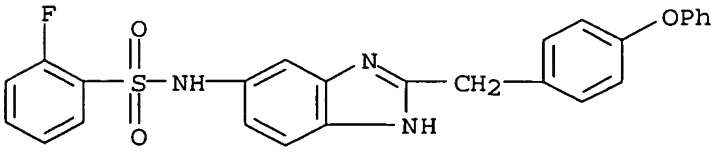
CRN 76-05-1
CMF C2 H F3 O2



RN 337965-15-8 HCAPLUS
CN Benzenesulfonamide, 2-fluoro-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

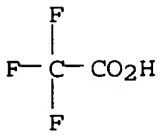
CM 1

CRN 337965-14-7
CMF C26 H20 F N3 O3 S



CM 2

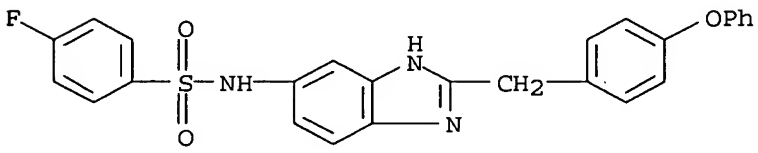
CRN 76-05-1
CMF C2 H F3 O2



RN 337965-17-0 HCAPLUS
CN Benzenesulfonamide, 4-fluoro-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

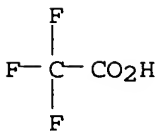
CM 1

CRN 337965-16-9
CMF C26 H20 F N3 O3 S



CM 2

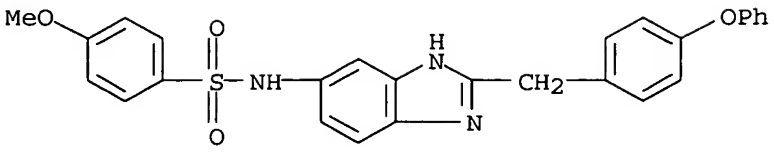
CRN 76-05-1
CMF C2 H F3 O2



RN 337965-19-2 HCAPLUS
CN Benzenesulfonamide, 4-methoxy-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

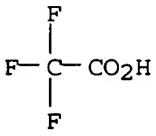
CM 1

CRN 337965-18-1
CMF C27 H23 N3 O4 S



CM 2

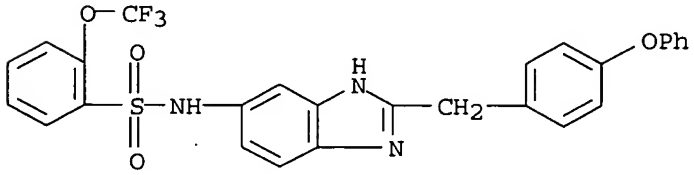
CRN 76-05-1
CMF C2 H F3 O2



RN 337965-21-6 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-2-(trifluoromethoxy)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

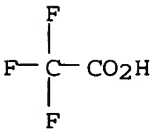
CM 1

CRN 337965-20-5
CMF C27 H20 F3 N3 O4 S



CM 2

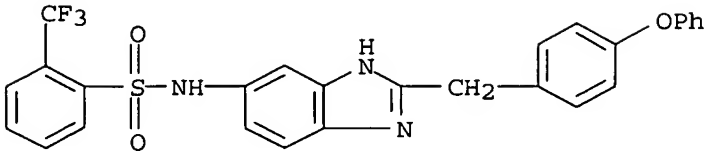
CRN 76-05-1
CMF C2 H F3 O2



RN 337965-23-8 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-2-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

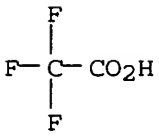
CM 1

CRN 337965-22-7
CMF C27 H20 F3 N3 O3 S



CM 2

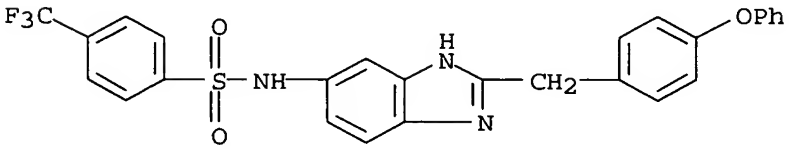
CRN 76-05-1
CMF C2 H F3 O2



RN 337965-25-0 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-4-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

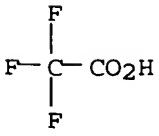
CM 1

CRN 337965-24-9
CMF C27 H20 F3 N3 O3 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 9 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:790487 HCAPLUS
DOCUMENT NUMBER: 133:335229
TITLE: Preparation of benzoxazole compounds, process for the preparation thereof and herbicides
INVENTOR(S): Fukuda, Shohei; Nakamura, Akira; Shimizu, Motohisa; Okada, Tatsuo; Asahara, Takehiko; Oohida, Satoshi
PATENT ASSIGNEE(S): Ube Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000066569	A1	20001109	WO 2000-JP2760	20000427 <--
W: BR, CA, CN, IN, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2371681	AA	20001109	CA 2000-2371681	20000427 <--
JP 2001011061	A2	20010116	JP 2000-126933	20000427 <--
BR 2000010703	A	20020219	BR 2000-10703	20000427 <--
EP 1180515	A1	20020220	EP 2000-921051	20000427 <--
EP 1180515	B1	20040414		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 264314	E	20040415	AT 2000-921051	20000427
ES 2219332	T3	20041201	ES 2000-921051	20000427
US 6706664	B1	20040316	US 2001-959544	20011030 <--

PRIORITY APPLN. INFO.: JP 1999-124912 A 19990430
WO 2000-JP2760 W 20000427

OTHER SOURCE(S): MARPAT 133:335229
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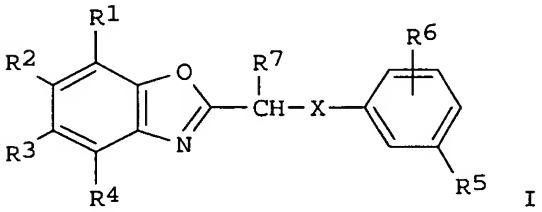


I

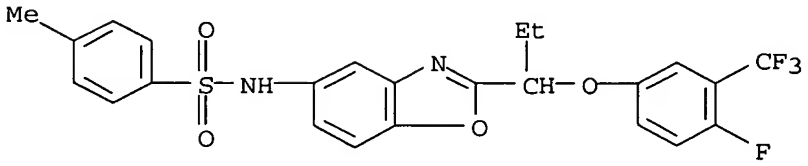
AB Claimed are benzoxazole compds. represented by general formula (I; wherein R1 to R4 are each hydrogen, C1-6 alkyl, C1-4 alkoxy, C1-4 haloalkyl, C1-4 haloalkoxy, halogeno, nitro, cyano, or the like; R5 is C1-4 haloalkyl, C1-4 haloalkoxy, halogeno, nitro, cyano, or the like; R6 is hydrogen, halogeno, cyano, nitro, or the like; R7 is hydrogen, C1-6 alkyl, C1-4 haloalkyl, or the like; and X is O, S, SO, or SO2); process for the preparation of them; and herbicides containing the same as the active ingredient. Thus, chlorination of 2-[4-fluoro-3-(trifluoromethyl)phenoxy]butanoic acid with SOCl2 under reflux for 2 h gave 2-[4-fluoro-3-

(trifluoromethyl)phenoxy]butanoyl chloride which underwent cyclocondensation with 2-amino-4-fluorophenol in AcOH at 50-60° for 1 h to give 1-(5-fluorobenzoxazol-2-yl)-1-[4-fluoro-3-(trifluoromethyl)phenoxy]propane (II). II at 500 g/ha (preemergent soil-treatment) completely controlled Digitaria ciliaris, Echinochloa crus-galli, Setaria viridis, and Poa annua and gave no damage to corn, soy bean, cotton, and wheat plants.

IT **303183-23-5P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzoxazole compds., process for preparation thereof and herbicides)

RN 303183-23-5 HCAPLUS

CN Benzenesulfonamide, N-[2-[1-[4-fluoro-3-(trifluoromethyl)phenoxy]propyl]-5-benzoxazolyl]-4-methyl- (9CI) (CA INDEX NAME)



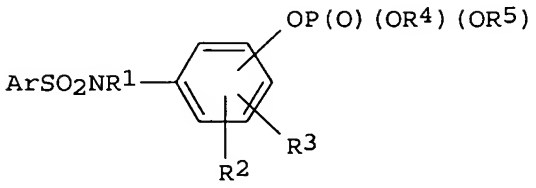
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 10 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:819384 HCAPLUS
DOCUMENT NUMBER: 132:64058
TITLE: Preparation and antitumor activity of arylsulfonanilide phosphates
INVENTOR(S): Houze, Jonathan B.
PATENT ASSIGNEE(S): Tularik Inc., USA
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967258	A1	19991229	WO 1999-US13759	19990616 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2335559	AA	19991229	CA 1999-2335559	19990616 <--
AU 9945768	A1	20000110	AU 1999-45768	19990616 <--
AU 763687	B2	20030731		
EP 1090014	A1	20010411	EP 1999-928777	19990616 <--

EP 1090014	B1	20030903			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
JP 2002518506	T2	20020625	JP 2000-555910		19990616 <--
AT 248845	E	20030915	AT 1999-928777		19990616
US 6211167	B1	20010403	US 2000-595398		20000614 <--
US 2001018430	A1	20010830	US 2001-779419		20010207 <--
US 6417176	B2	20020709			
PRIORITY APPLN. INFO.:			US 1998-90681P	P	19980625
			WO 1999-US13759	W	19990616
			US 1999-336062	B1	19990618
			US 2000-595398	A1	20000614

OTHER SOURCE(S): MARPAT 132:64058
GI



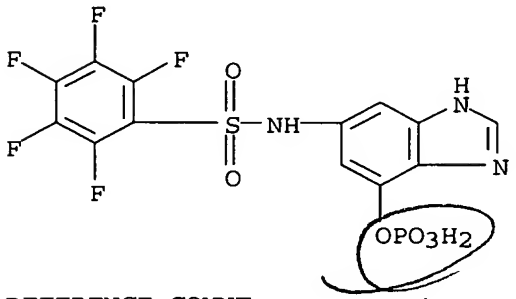
I

AB The title compds. I [R1 = H, alkyl, heteroalkyl; R2, R3 = H, halo, alkyl, etc.; R2 and R3 when attached to adjacent C atoms can form a ring; R4, R5 = H, alkyl, aryl, etc.; Ar = substituted Ph] were prepared and their antitumor activity assessed. E.g., 5-(pentafluorophenylsulfonamido)-2-methoxyphenyl phosphate was prepared

IT **253141-42-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antitumor activity of arylsulfonanilide phosphates)

RN 253141-42-3 HCAPLUS

CN Benzenesulfonamide, 2,3,4,5,6-pentafluoro-N-[7-(phosphonooxy)-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 11 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:505930 HCAPLUS

DOCUMENT NUMBER: 131:157761
TITLE: 5-Membered heterocyclic condensed benzo derivatives, their preparation, and their use as drugs
INVENTOR(S): Ries, Uwe; Hauel, Norbert; Mihm, Gerhard; Priepke, Henning; Binder, Klaus; Stassen, Jean Marie; Wienen, Wolfgang; Zimmermann, Rainer
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: Ger. Offen., 94 pp.
CODEN: GWXXBX
DOCUMENT TYPE: **Patent**
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19804085	A1	19990805	DE 1998-19804085	19980203 <--
CA 2319494	AA	19990812	CA 1999-2319494	19990128 <--
WO 9940072	A1	19990812	WO 1999-EP537	19990128 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9927201	A1	19990823	AU 1999-27201	19990128 <--
EP 1060166	A1	20001220	EP 1999-907437	19990128 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002502844	T2	20020129	JP 2000-530502	19990128 <--
US 6114532	A	20000905	US 1999-243200	19990202 <--

PRIORITY APPLN. INFO.:

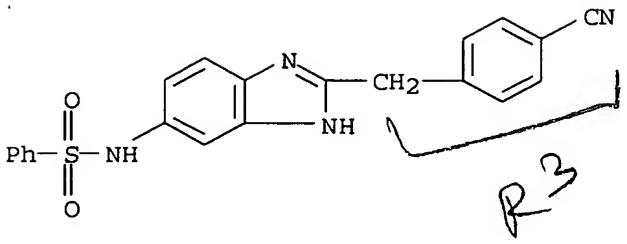
DE 1998-19804085	A	19980203
US 1998-77694P	P	19980312
DE 1998-19834325	A	19980730
WO 1999-EP537	W	19990128

OTHER SOURCE(S): MARPAT 131:157761

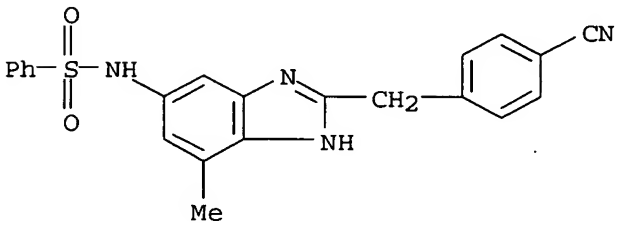
AB Approx. 300 antithrombotic title compds. such as 4-[5-[N-(8-quinolylsulfonyl)-N-(carboxymethyl)amino]-1-methyl-1H-benzimidazol-2-ylmethyl]benzamidine hydrochloride (I), 4-[5-[N-(benzenesulfonyl)-N-[2-(dimethylamino)ethyl]amino]-1-benzyl-1H-benzimidazol-2-ylmethyl]benzamidine dihydrochloride, 4-[5-[N-(3-carboxypropionyl)-N-(cyclopentyl)amino]-1-methyl-1H-benzimidazol-2-ylmethyl]benzamidine hydrochloride (II), and 4-[5-[N-(8-quinolylsulfonyl)-N-(carboxymethyl)amino]-1-methyl-1H-benzothiazol-2-ylmethyl]benzamidine hydrochloride were prepared by standard methods. The ED200 in μM for I was 0.92 and for II was 0.82. Formulations for the antithrombotics were given.

IT **237750-73-1 237750-74-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and antithrombotic activity of benzimidazolylmethylbenzamidines)

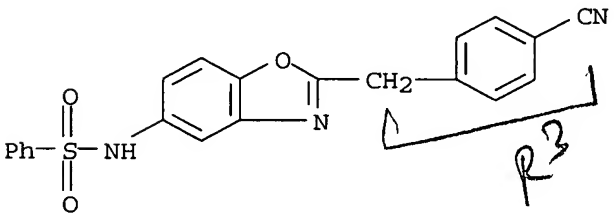
RN 237750-73-1 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



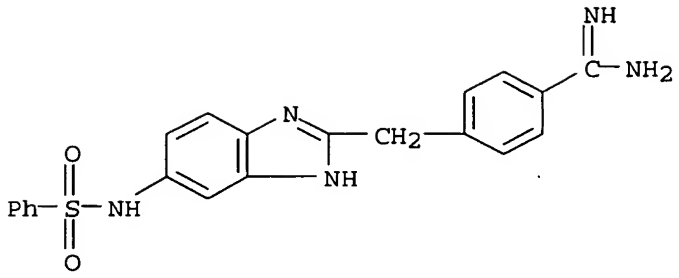
RN 237750-74-2 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-7-methyl-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



IT **236418-28-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antithrombotic activity of benzimidazolylmethylbenzamidines)
RN 236418-28-3 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-5-benzoxazolyl]- (9CI) (CA INDEX NAME)

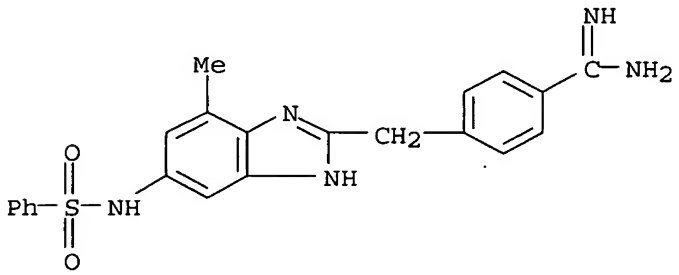


IT **236414-29-2P 236414-31-6P 236416-84-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antithrombotic activity of benzimidazolylmethylbenzamidines)
RN 236414-29-2 HCAPLUS
CN Benzenecarboximidamide, 4-[[5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



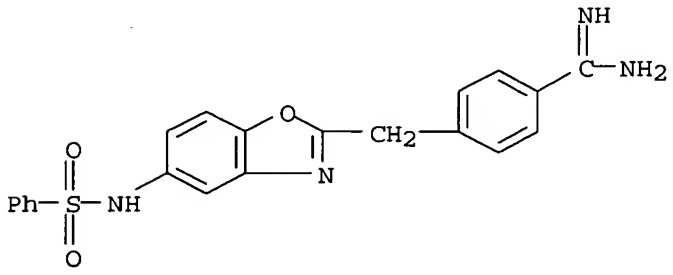
● HCl

RN 236414-31-6 HCAPLUS
CN Benzenecarboximidamide, 4-[[4-methyl-6-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 236416-84-5 HCAPLUS
CN Benzenecarboximidamide, 4-[[5-[(phenylsulfonyl)amino]-2-benzoxazolyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



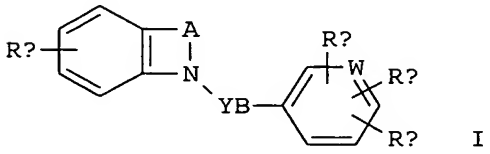
● HCl

L24 ANSWER 12 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:35065 HCAPLUS
DOCUMENT NUMBER: 130:110166
TITLE: Preparation of amidinophenylpropionyltetrahydroquinolines and related compounds as antithrombotics.
INVENTOR(S): Heckel, Armin; Soyka, Rainer; Grell, Wolfgang; Haaksma, Eric; Binder, Klaus; Zimmermann, Rainer
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: Ger. Offen., 50 pp.
CODEN: GWXXBX
DOCUMENT TYPE: **Patent**
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19727117	A1	19990107	DE 1997-19727117	19970626 <--
CA 2288744	AA	19990107	CA 1998-2288744	19980622 <--
WO 9900371	A1	19990107	WO 1998-EP3800	19980622 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9887279	A1	19990119	AU 1998-87279	19980622 <--
EP 991624	A1	20000412	EP 1998-938621	19980622 <--
EP 991624	B1	20031119		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002511088	T2	20020409	JP 1999-505265	19980622 <--
AT 254602	E	20031215	AT 1998-938621	19980622
MX 9911261	A	20000630	MX 1999-11261	19991206 <--
US 6300342	B1	20011009	US 1999-457961	19991209 <--

PRIORITY APPLN. INFO.: DE 1997-19727117 A 19970626
WO 1998-EP3800 W 19980622

OTHER SOURCE(S): MARPAT 130:110166
GI



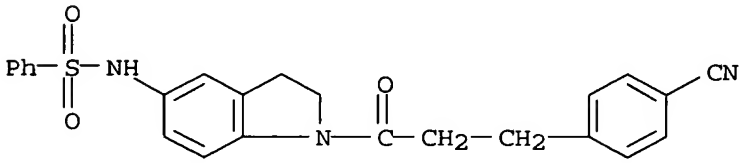
I

AB Title compds. [I; Ra = H, NO2, amino, aminocarbonyl; Rb = cyano, aminomethyl, (substituted) amidino; Rc, Rd = H, F, Cl, Br, iodo, Me, MeO, NO2, amino; A = (substituted) ethylene, ethyenylene, propylene, etc.; B = bond, (substituted) methylene, ethylene, ethenylene, propylene, etc.; W = N, CH; Y = CH2, CO, CS], were prepared Thus, 1-[3-(4-amidinophenyl)propionyl]-1,2,3,4-tetrahydroquinoline-6-carboxylic acid

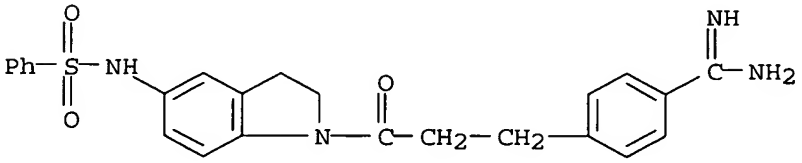
methyl-N-phenylamide (preparation given) had a thrombin time ED200 = 0.02 μM.

IT **219643-32-0P 219644-16-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidinophenylpropionyltetrahydroquinolines and related compds. as antithrombotics)

RN 219643-32-0 HCAPLUS
CN 1H-Indol-5-amine, 1-[3-(4-cyanophenyl)-1-oxopropyl]-2,3-dihydro-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 219644-16-3 HCAPLUS
CN 1H-Indol-5-amine, 1-[3-[4-(aminoiminomethyl)phenyl]-1-oxopropyl]-2,3-dihydro-N-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

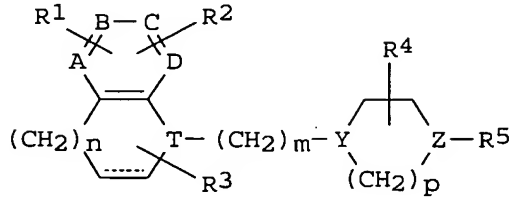
L24 ANSWER 13 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:682229 HCAPLUS
DOCUMENT NUMBER: 129:302552
TITLE: Preparation of 1,4-disubstituted cyclic amine derivatives as serotonin antagonists
INVENTOR(S): Kitazawa, Noritaka; Ueno, Kohshi; Takahashi, Keiko; Kimura, Teiji; Sasaki, Atsushi; Kawano, Koki; Okabe, Tadashi; Komatsu, Makoto; Matsunaga, Manabu; Kubota, Atsuhiko
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 635 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9843956	A1	19981008	WO 1998-JP1481	19980331 <--

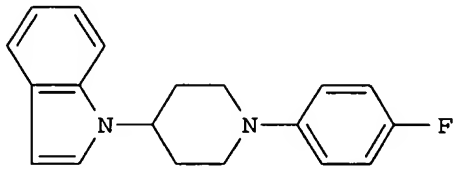
W: AU, CA, CN, HU, JP, KR, MX, NO, NZ, RU, US						
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE						
CA 2280753	AA	19981008	CA 1998-2280753	19980331 <--		
AU 9865209	A1	19981022	AU 1998-65209	19980331 <--		
AU 748038	B2	20020530				
ZA 9802707	A	19991020	ZA 1998-2707	19980331 <--		
EP 976732	A1	20000202	EP 1998-911137	19980331 <--		
EP 976732	B1	20041124				
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI						
NZ 337651	A	20020426	NZ 1998-337651	19980331 <--		
RU 2203275	C2	20030427	RU 1999-123039	19980331		
AT 283259	E	20041215	AT 1998-911137	19980331		
ES 2230681	T3	20050501	ES 1998-911137	19980331		
US 6448243	B1	20020910	US 1999-367227	19990811 <--		
NO 9904720	A	19991130	NO 1999-4720	19990928 <--		
NO 314543	B1	20030407				
HK 1026700	A1	20050826	HK 2000-105871	20000919		
US 2002086999	A1	20020704	US 2001-846259	20010502 <--		
US 2002019531	A1	20020214	US 2001-859517	20010518 <--		
US 6579881	B2	20030617				
PRIORITY APPLN. INFO.:			JP 1997-98433	A	19970331	
			JP 1997-366764	A	19971226	
			WO 1998-JP1481	W	19980331	
			US 1999-367227	A3	19990811	

OTHER SOURCE(S): MARPAT 129:302552

GI



I



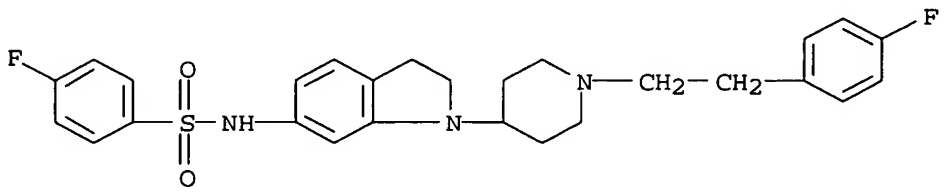
II

AB The title compds. (I; A, B, C, D, T, Y, and Z each represents a methine group or a nitrogen atom; R1, R2, R3, R4, and R5 each represents a substituent, such as halo, OH, hydroxyalkoxy, lower alkyl, etc.; n is an integer of 0 to 3; m is an integer of 0 to 6; and p is an integer of 1 to 3; dotted bond represents a single or double bond) are prepared I have serotonin antagonism and serve as drugs for the treatment, alleviation and prevention of spastic paralysis or a central muscle relaxant for alleviating myotonia. Thus, indoline was reacted with 1-(4-fluorophenyl)-4-piperidone in the presence of NaB(OAc)3 in AcOH and dichloroethane to give 63% the title compound (II), which showed binding activity of 623.94 and > 200 nM for 5HT1a and 5HT2 resp.

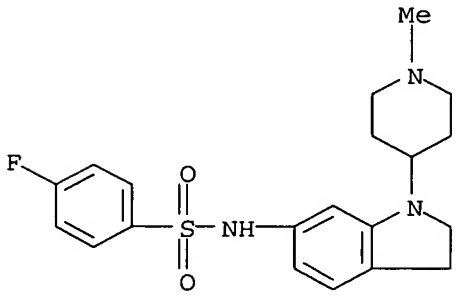
IT **214611-39-9P 214612-56-3P 214612-57-4P**
214616-20-3P 214617-24-0P 214617-25-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,4-disubstituted cyclic amine derivs. as serotonin antagonists)

RN 214611-39-9 HCAPLUS

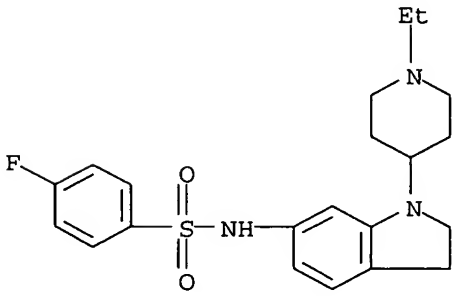
CN Benzenesulfonamide, 4-fluoro-N-[1-[1-[2-(4-fluorophenyl)ethyl]-4-piperidinyl]-2,3-dihydro-1H-indol-6-yl]- (9CI) (CA INDEX NAME)



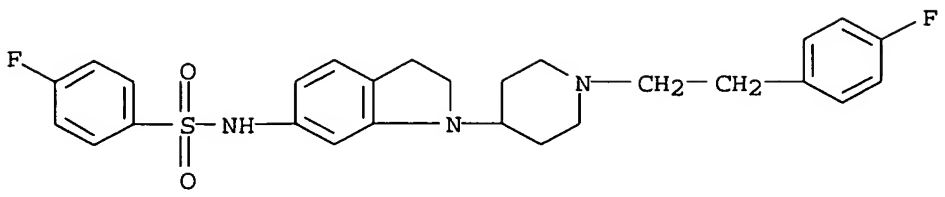
RN 214612-56-3 HCAPLUS
CN Benzenesulfonamide, N-[2,3-dihydro-1-(1-methyl-4-piperidinyl)-1H-indol-6-yl]-4-fluoro- (9CI) (CA INDEX NAME)



RN 214612-57-4 HCAPLUS
CN Benzenesulfonamide, N-[1-(1-ethyl-4-piperidinyl)-2,3-dihydro-1H-indol-6-yl]-4-fluoro- (9CI) (CA INDEX NAME)

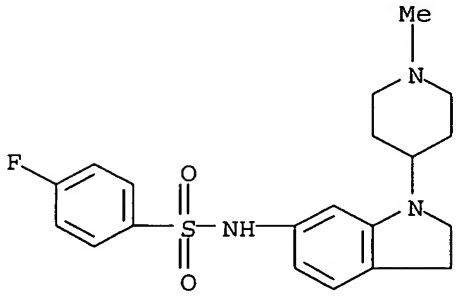


RN 214616-20-3 HCAPLUS
CN Benzenesulfonamide, 4-fluoro-N-[1-[1-[2-(4-fluorophenyl)ethyl]-4-piperidinyl]-2,3-dihydro-1H-indol-6-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



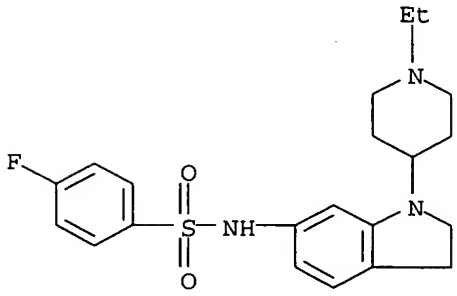
● HCl

RN 214617-24-0 HCAPLUS
CN Benzenesulfonamide, N-[2,3-dihydro-1-(1-methyl-4-piperidinyl)-1H-indol-6-yl]-4-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

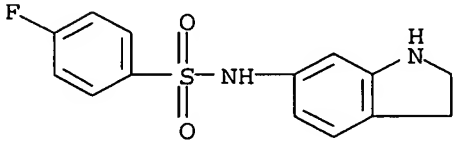
RN 214617-25-1 HCAPLUS
CN Benzenesulfonamide, N-[1-(1-ethyl-4-piperidinyl)-2,3-dihydro-1H-indol-6-yl]-4-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT **214615-14-2P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1,4-disubstituted cyclic amine derivs. as serotonin antagonists)
RN 214615-14-2 HCAPLUS
CN Benzenesulfonamide, N-(2,3-dihydro-1H-indol-6-yl)-4-fluoro- (9CI) (CA INDEX NAME)

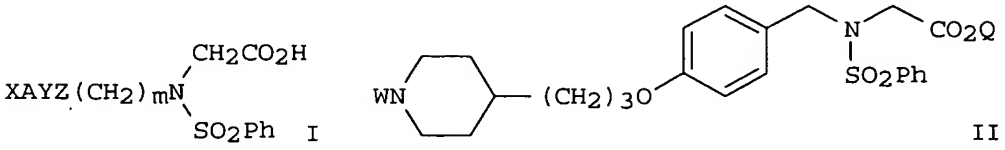


REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 14 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:479027 HCAPLUS
DOCUMENT NUMBER: 129:122673
TITLE: Fibrinogen receptor antagonists
INVENTOR(S): Wai, John; Fisher, Thorsten E.; Duggan, Mark E.; Hartman, George D.; Perkins, James J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 37 pp.
CODEN: USXXAM
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5780480	A	19980714	US 1997-807843	19970226 <--
PRIORITY APPLN. INFO.:			US 1997-807843	19970226

OTHER SOURCE(S): MARPAT 129:122673
GI

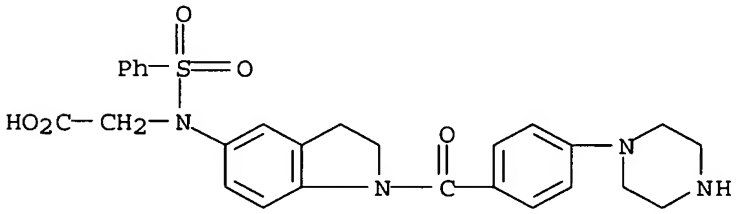


AB The title compds. [I; XA = N-containing heterocyclyl; Y = CONH, (CH2)m, etc.; m = 2, 3; n = 0, 1; Z = 1,4-Ph, N-containing heterocyclyl] are prepared I are useful as fibrinogen receptor antagonists and inhibitors of the aggregation of blood platelets in a mammal (no data). Thus, compound (II; W = BOC, Q = Me) (preparation given) was treated with 1N NaOH and then treated with TFA to give the title compound II (W = Q = H).
IT **210347-32-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzene derivs. as fibrinogen receptor antagonists)
RN 210347-32-3 HCAPLUS
CN Glycine, N-[2,3-dihydro-1-[4-(1-piperazinyl)benzoyl]-1H-indol-5-yl]-N-(phenylsulfonyl)-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

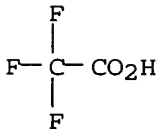
CM 1

CRN 196204-10-1
CMF C27 H28 N4 O5 S

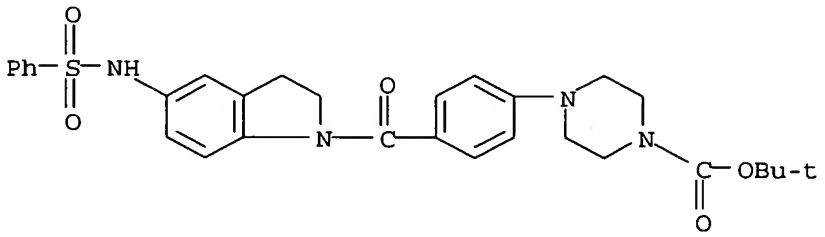


CM 2

CRN 76-05-1
CMF C2 H F3 O2



IT **196204-09-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzene derivs. as fibrinogen receptor antagonists)
RN 196204-09-8 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[4-[[2,3-dihydro-5-[(phenylsulfonyl)amino]-1H-indol-1-yl]carbonyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 15 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:713785 HCAPLUS
DOCUMENT NUMBER: 123:111849
TITLE: Preparation of bicyclic heterocyclic sulfonamide and sulfonic ester derivatives as antitumor agents
INVENTOR(S): Yoshino, Hiroshi; Yamato, Takashi; Okauchi, Tatsuo; Yoshimatsu, Kentaro; Sugi, Naoko; Nagasu, Takeshi; Ozawa, Yoichi; Koyanagi, Nozomu; Kito, Kyosuke
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9507276	A1	19950316	WO 1994-JP1487	19940908 <--
W: AU, CA, CN, FI, HU, KR, NO, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 07165708	A2	19950627	JP 1994-207568	19940831 <--
JP 3545461	B2	20040721		
AU 9476237	A1	19950327	AU 1994-76237	19940908 <--
AU 683492	B2	19971113		
EP 673937	A1	19950927	EP 1994-926372	19940908 <--
EP 673937	B1	20031126		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
HU 71551	A2	19951228	HU 1995-1363	19940908 <--
CN 1114506	A	19960103	CN 1994-190672	19940908 <--
CN 1079097	B	20020213		
RU 2121997	C1	19981120	RU 1996-119782	19940908 <--
RU 2128648	C1	19990410	RU 1995-112848	19940908 <--
HU 217842	B	20000428	HU 1996-2147	19940908 <--
AT 255106	E	20031215	AT 1994-926372	19940908
CN 1491941	A	20040428	CN 2001-2001119456	19940908
PT 673937	T	20040430	PT 1994-926372	19940908
ES 2206469	T3	20040516	ES 1994-926372	19940908
NO 9501813	A	19950509	NO 1995-1813	19950509 <--
FI 9502272	A	19950706	FI 1995-2272	19950510 <--
FI 109690	B1	20020930		
US 5721246	A	19980224	US 1995-433493	19950510 <--
AU 9717785	A1	19970814	AU 1997-17785	19970409 <--
AU 711438	B2	19991014		

PRIORITY APPLN. INFO.:

JP 1993-248614	A	19930910
JP 1994-207568	A	19940831
HU 1995-1363	A	19940908
WO 1994-JP1487	W	19940908

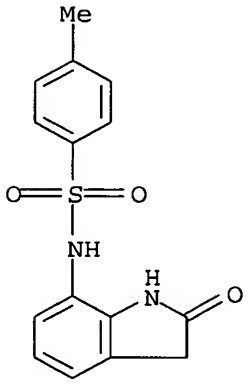
OTHER SOURCE(S): MARPAT 123:111849
GI For diagram(s), see printed CA Issue.
AB Novel bicyclic heterocyclic sulfonamide and sulfonic ester derivs. represented by general formula [I; ring A = (un)substituted mono- or bicyclic aromatic group; ring B = (un)substituted 6-membered unsatd. hydrocarbon ring or 6-membered unsatd. heterocyclic group containing one N atom; ring C = (un)substituted 5-membered heterocyclic group containing one or two N atoms; W = a single bond or CH:CH; X = NR1 or O; Y = C or N; Z = NR2 or N; wherein R1, R2 = H, lower alkyl] or pharmacol. acceptable salts thereof, having an antitumor activity with reduced toxicity, are prepared Thus, 1.50 g 7-amino-1H-indole (preparation given) was dissolved in 40 mL

pyridine followed by adding 2.57 g 4-nitrobenzenesulfonyl chloride and the mixture was stirred at room temperature overnight to give, after silica gel chromatog., 3.50 g 7-(phenylsulfonylamino)indole derivative (II; X1 = NO2, R = H). 50 7-(Phenylsulfonylamino)indole derivs. in vitro showed IC50 of 0.09-0.87 μg/mL for inhibiting the proliferation of mouse colon 38 cancer cells. I (X1 = MeSO2NH, R = Cl) at 100 mg/kg i.p. per day for 4 consecutive days inhibited 97% the growth of human colon cancer HCT116 cells transplanted in mice 21 days after the administration and gave 100% survival rate for the animals.

IT **165668-28-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (phenylsulfonylamino)indole derivative as antitumor agents)

RN 165668-28-0 HCAPLUS

CN Benzenesulfonamide, N-(2,3-dihydro-2-oxo-1H-indol-7-yl)-4-methyl- (9CI) (CA INDEX NAME)



L24 ANSWER 16 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1994:557641 HCAPLUS

DOCUMENT NUMBER: 121:157641

TITLE: Substituted (aminosulfamoyl)benzimidazole pesticides

INVENTOR(S): Lunkenheimer, Winfried; Baasner, Bernd; Lieb, Folker; Erdelen, Christoph; Wachendorff-Neumann, Ulrike; Stendel, Wilhelm; Goergens, D. I. Ulrich

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Ger. Offen., 45 pp.
CODEN: GWXXBX

DOCUMENT TYPE: **Patent**

LANGUAGE: German

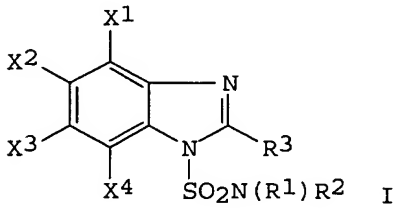
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
DE 4237597	A1	19940511	DE 1992-4237597	19921106	<--
CA 2148605	AA	19940526	CA 1993-2148605	19931025	<--
WO 9411350	A1	19940526	WO 1993-EP2947	19931025	<--
W: AU, BR, BY, CA, CZ, HU, JP, KR, KZ, NZ, RU, SK, UA, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
AU 9453378	A1	19940608	AU 1994-53378	19931025	<--

EP 667862	A1	19950823	EP 1993-923546		19931025	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, IE, IT, LI, NL, PT, SE						
HU 71740	A2	19960129	HU 1995-1330		19931025	<--
HU 217096	B	20000528				
JP 08502983	T2	19960402	JP 1993-511644		19931025	<--
BR 9307393	A	19990824	BR 1993-7393		19931025	<--
US 5585395	A	19961217	US 1995-424256		19950424	<--
PRIORITY APPLN. INFO.:			DE 1992-4237597	A	19921106	
			WO 1993-EP2947	W	19931025	

OTHER SOURCE(S): MARPAT 121:157641
GI

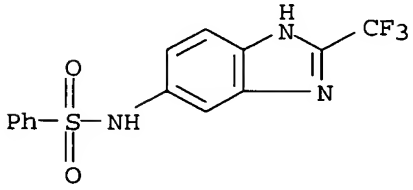


I

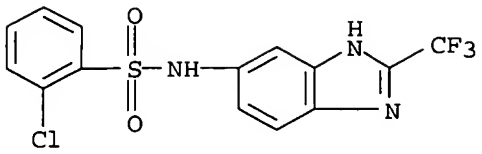
AB The title compds. [I; R1, R2 = H, alkyl, haloalkyl, cycloalkyl, (un)substituted aryl; R3 = fluoroalkyl; X1-X4 = H, halogen, CN, NO2, (un)substituted alkyl, alkoxy, alkylthiol etc.; >1 of X1-X4 = H], useful as pesticides, are prepared by the condensation of the aminosulfonyl halides with substituted benzamidazoles. Thus, 2,6-bis(trifluoromethyl)-4-bromo-1H-benzamidazole was condensed with ClSO2NMe2, producing 2,6-bis(trifluoromethyl)-4-bromo-1-(dimethylsulfamoyl)benzimidazole, m.p. 144-147°, in 58% yield.

IT **156493-93-5P 156493-94-6P 156493-95-7P 156494-09-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of pesticides)

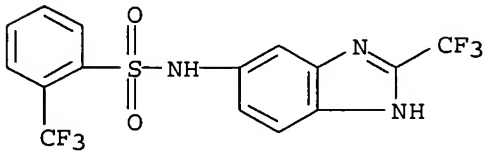
RN 156493-93-5 HCAPLUS
CN Benzenesulfonamide, N-[2-(trifluoromethyl)-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



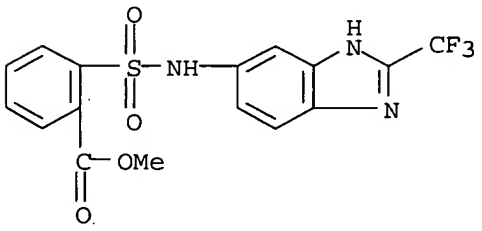
RN 156493-94-6 HCAPLUS
CN Benzenesulfonamide, 2-chloro-N-[2-(trifluoromethyl)-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



RN 156493-95-7 HCAPLUS
CN Benzenesulfonamide, 2-(trifluoromethyl)-N-[2-(trifluoromethyl)-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



RN 156494-09-6 HCAPLUS
CN Benzoic acid, 2-[[[2-(trifluoromethyl)-1H-benzimidazol-5-yl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



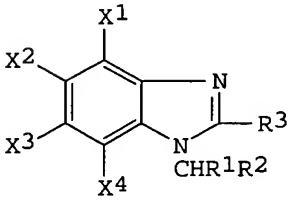
L24 ANSWER 17 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:508789 HCAPLUS
DOCUMENT NUMBER: 121:108789
TITLE: Preparation of substituted benzimidazole derivs. for use as pesticides
INVENTOR(S): Lunkenheimer, Winfried; Baasner, Bernd; Lieb, Folker; Boehm, Stefan; Marhold, Albrecht; Goergens, Ulrich; Stendel, Wilhelm; Dehne, Heinz Wilhelm; Santel, Hans Joachim
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 67 pp.
CODEN: GWXXBX
DOCUMENT TYPE: **Patent**
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4237557	A1	19940511	DE 1992-4237557	19921106 <--
CA 2148612	AA	19940526	CA 1993-2148612	19931025 <--

WO 9411349	A1	19940526	WO 1993-EP2946	19931025	<--
W: AU, BR, BY, CA, CZ, HU, JP, KR, KZ, NZ, RU, SK, UA, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
AU 9453377	A1	19940608	AU 1994-53377	19931025	<--
EP 667861	A1	19950823	EP 1993-923545	19931025	<--
EP 667861	B1	20000719			
R: AT, BE, CH, DE, DK, ES, FR, GB, IE, IT, LI, NL, PT, SE					
HU 72091	A2	19960328	HU 1995-1292	19931025	<--
JP 08506088	T2	19960702	JP 1994-511643	19931025	<--
BR 9307389	A	19990831	BR 1993-7389	19931025	<--
AT 194834	E	20000815	AT 1993-923545	19931025	<--
ES 2148242	T3	20001016	ES 1993-923545	19931025	<--
PT 667861	T	20010131	PT 1993-923545	19931025	<--
US 5656649	A	19970812	US 1995-428087	19950525	<--
US 5863933	A	19990126	US 1997-822565	19970319	<--
PRIORITY APPLN. INFO.:			DE 1992-4237557	A 19921106	
			WO 1993-EP2946	W 19931025	
			US 1995-428087	A3 19950525	

OTHER SOURCE(S): MARPAT 121:108789

GI



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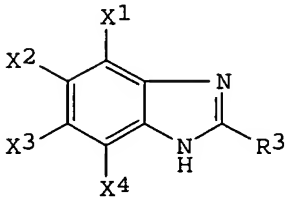


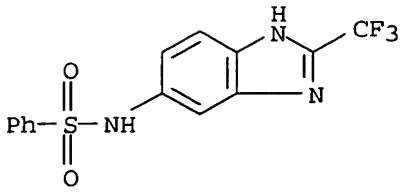
II

AB A process for the preparation of benzimidazoles of the general formula I wherein R1 can be H, alkyl, alkoxy, or substituted aryl and R2 can be OH, CN, or alkyl, aryl, alkenyl, amino, alkoxycarbonyl, etc. and R3 is fluoroalkyl and X1, X2, X3 are independently H, halogen, cyano, nitro, or substituted alkyl, alkoxy, alkylsulfonyl, amino, aryl, etc. comprises the treatment of benzimidazole derivative of formula II (X1, X2, X3, X4, R3 as above) with compound of formula ACHR1R2 (R1, R2 as above) wherein A represents a specific leaving group. E.g., 5(6)-phenyl-2-trimethyl-1H-benzimidazole and KCO3 and EtOAc are refluxed for 15 min. whereupon chloromethyl Et ether in EtOAc is added and refluxed to give 1-ethoxymethyl-5(6)-phenyl-2-trifluoromethylbenzimidazole as a mixture of 1:1 regioisomers in 71%. Compds. of formula I are shown to be useful as pesticides against a variety of insect pests.

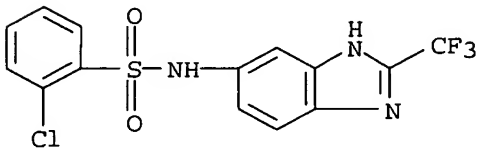
IT **156493-93-5P 156493-94-6P 156493-95-7P**
156494-09-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 156493-93-5 HCAPLUS

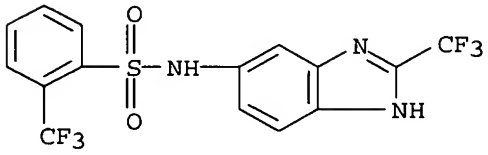
CN Benzenesulfonamide, N-[2-(trifluoromethyl)-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



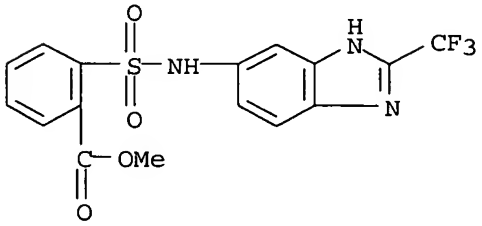
RN 156493-94-6 HCAPLUS
CN Benzenesulfonamide, 2-chloro-N-[2-(trifluoromethyl)-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



RN 156493-95-7 HCAPLUS
CN Benzenesulfonamide, 2-(trifluoromethyl)-N-[2-(trifluoromethyl)-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



RN 156494-09-6 HCAPLUS
CN Benzoic acid, 2-[[[2-(trifluoromethyl)-1H-benzimidazol-5-yl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

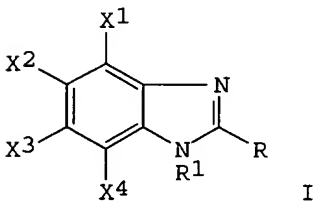


L24 ANSWER 18 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:499774 HCAPLUS
DOCUMENT NUMBER: 121:99774
TITLE: Preparation of substituted benzimidazoles as protozoacides.
INVENTOR(S): Lunkenheimer, Winfried; Baasner, Bernd; Lieb, Folker; Haberkorn, Axel

PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 102 pp.
CODEN: GWXXBX
DOCUMENT TYPE: **Patent**
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4237617	A1	19940511	DE 1992-4237617	19921106 <--
AU 9348731	A1	19940519	AU 1993-48731	19930930 <--
AU 670317	B2	19960711		
EP 597304	A1	19940518	EP 1993-117243	19931025 <--
EP 597304	B1	20010110		
R: BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE				
ES 2154641	T3	20010416	ES 1993-117243	19931025 <--
US 5482956	A	19960109	US 1993-146634	19931029 <--
JP 06219946	A2	19940809	JP 1993-296008	19931102 <--
GR 3035574	T3	20010629	GR 2001-400421	20010314 <--

PRIORITY APPLN. INFO.: DE 1992-4237617 A 19921106
OTHER SOURCE(S): MARPAT 121:99774
GI

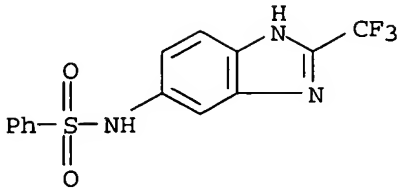


I

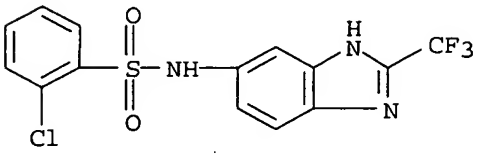
AB The benzimidazoles I [X1-4=H,halo,CN,NO2,(un)substituted alkyl, alkoxy, etc.; R=fluoroalkyl;R1=(un)substituted alkyl,dialkoxyphosphonyl, etc.] are prepared as protozoacides. 5(6)-Phenyl-2-trifluoromethyl-1H-benzimidazole (preparation given) was refluxed with chloromethyl Et ether, in K2CO3-containing Et acetate, to give 1-ethoxymethyl-5(6)-phenyl-2-trifluoromethyl-1H-benzimidazole. I (not specified) was used for treatment of coccidiosis in chicken.

IT **156493-93-5P 156493-94-6P 156493-95-7P 156494-09-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with haloalkyl alkyl ethers)

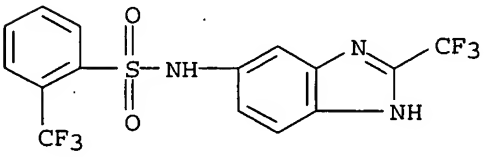
RN 156493-93-5 HCAPLUS
CN Benzenesulfonamide, N-[2-(trifluoromethyl)-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



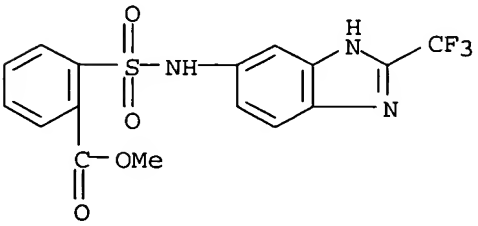
RN 156493-94-6 HCAPLUS
CN Benzenesulfonamide, 2-chloro-N-[2-(trifluoromethyl)-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



RN 156493-95-7 HCAPLUS
CN Benzenesulfonamide, 2-(trifluoromethyl)-N-[2-(trifluoromethyl)-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



RN 156494-09-6 HCAPLUS
CN Benzoic acid, 2-[[[2-(trifluoromethyl)-1H-benzimidazol-5-yl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



L24 ANSWER 19 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:120579 HCAPLUS
DOCUMENT NUMBER: 120:120579
TITLE: Dyes comprising thioether macrocycles
INVENTOR(S): Benard, Rejane; Friour, Gerard Amede Desire; Martin, Didier Jean; Riveccie, Marcel Louis Pierre
PATENT ASSIGNEE(S): Kodak-Pathe, Fr.; Eastman Kodak Co.

SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

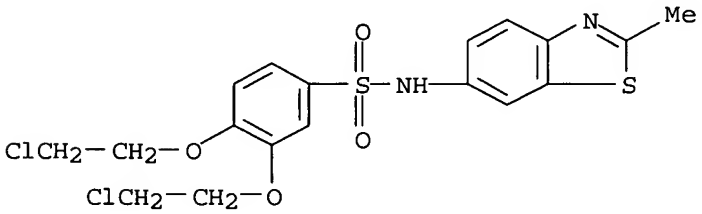
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9308505	A1	19930429	WO 1992-EP2359	19921014 <--
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
FR 2682498	A1	19930416	FR 1991-12942	19911015 <--
EP 608312	A1	19940803	EP 1992-921617	19921014 <--
EP 608312	B1	19950524		
R: DE, FR, GB				
JP 07500926	T2	19950126	JP 1992-507420	19921014 <--
US 5500337	A	19960319	US 1994-211790	19940415 <--

PRIORITY APPLN. INFO.: FR 1991-12942 A 19911015
WO 1992-EP2359 W 19921014

AB Spectral sensitizing polymethine dyes for use in Ag halide photog. materials comprise ≥1 macrocyclic thioether radicals with ≥1 S atom and ≥1 O atom, each S or O atom being separated from another S or O atom by an alkylene group comprising ≥2 C atoms. The sensitizing dyes greatly improve the sensitivity of the photog. materials while reducing residual dye stain formation.

IT **152843-72-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparing polymethine dye photog. sensitizer)

RN 152843-72-6 HCAPLUS
CN Benzenesulfonamide, 3,4-bis(2-chloroethoxy)-N-(2-methyl-6-benzothiazolyl)-(9CI) (CA INDEX NAME)



L24 ANSWER 20 OF 31 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1987:626030 HCAPLUS
DOCUMENT NUMBER: 107:226030
TITLE: Thermally developable light-sensitive material
INVENTOR(S): Kohno, Junichi; Okauchi, Ken; Goto, Sohei; Iwagaki, Masaru; Komamura, Tawara
PATENT ASSIGNEE(S): Konishiroku Photo Industry Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 217 pp.
CODEN: EPXXDW
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2

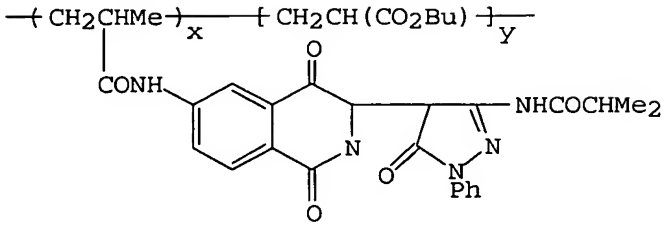
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 218385	A2	19870415	EP 1986-307083	19860915	<--
EP 218385	A3	19900321			
EP 218385	B1	19920729			
EP 218385	B2	19970514			
R: DE, FR, GB					
JP 62065035	A2	19870324	JP 1985-205129	19850917	<--
JP 04077892	B4	19921209			
JP 62078554	A2	19870410	JP 1985-218769	19851001	<--
JP 04027538	B4	19920512			
JP 62090647	A2	19870425	JP 1985-232263	19851017	<--
JP 05002220	B4	19930112			
JP 62121452	A2	19870602	JP 1985-262177	19851120	<--
JP 05088818	B4	19931224			
JP 62123456	A2	19870604	JP 1985-263564	19851122	<--
JP 06001364	B4	19940105			
US 4837141	A	19890606	US 1988-191781	19880503	<--
US 5064753	A	19911112	US 1990-576158	19900830	<--

PRIORITY APPLN. INFO.:

JP 1985-205129	A	19850917
JP 1985-218769	A	19851001
JP 1985-232263	A	19851017
JP 1985-262177	A	19851120
JP 1985-263564	A	19851122
JP 1985-215948	A	19850928
US 1986-907670	A1	19860915
US 1987-60390	B2	19870507
US 1989-336216	B1	19890615

GI



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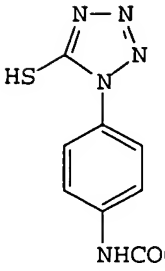

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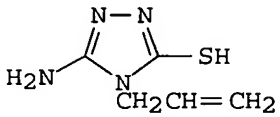

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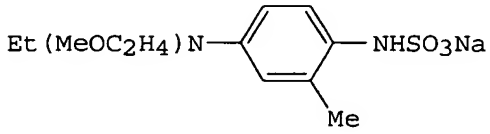


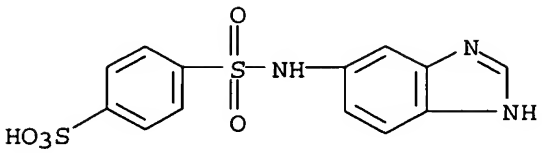
V

AB A thermally developable diffusion-transfer light-sensitive image-forming material is comprised of ≥1 Ag halide light-sensitive layer and a compound having the general formula R[ZmR1]n (I; R = a residue of a development restrainer; Z = a divalent linkage; R1 = an immobilizing group that is capable of reducing the diffusibility of I or its Ag salt or complex during thermal development; m = 0.1; n = 1-3) as a development restrainer. The image-forming material only produces limited fog during thermal development. Thus, a diffusion-transfer light-sensitive image-forming material prepared from a Ag halide emulsion, a 5-methylbenzotriazole Ag salt dispersion in poly(N-vinylpyrrolidone), a dye-providing composition containing the dye former II, development restrainer III-Bu acrylate copolymer, 2,5-di-tert-octyl-4-hydroxyphenol, and phenylcarbamoylated gelatin, a developer solution containing development accelerator IV, a F-containing surfactant, reducing agent V, and poly(N-vinylpyrrolidone), and other additives [polyethylene glycol, 3-methylpentane-1,3,5-triol, and taurine-tetrakis(vinylsulfonylmethyl)meth ane reaction products] was coated on a subbed PET support, exposed through a step wedge, superposed with a receptor paper coated with poly(vinyl chloride), and heated at 150° to give a magenta image on the receptor paper with Dmax 2.47 and Dmin 0.06 vs. 2.78 and 1.48, resp., for a control using a known restrainer.

IT **110802-24-9**
RL: USES (Uses)
(diffusion-transfer photothermog. materials containing photosensitive silver halide and)

RN 110802-24-9 HCAPLUS

CN Benzenesulfonic acid, 4-[(1H-benzimidazol-5-yl-amino)sulfonyl]-, monosodium salt (9CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	198.94	879.67

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-24.00	-24.00

STN INTERNATIONAL LOGOFF AT 11:49:40 ON 24 MAR 2006